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=> d his

     (FILE 'CAPLUS' ENTERED AT 12:25:40 ON 05 JUL 2005)
               DEL HIS Y

     FILE 'REGISTRY' ENTERED AT 12:26:15 ON 05 JUL 2005
               E AZITHROMYCIN/CN
L1             1 S E3
L2             1 S E7
L3               STR 83905-01-5
L4             4 S L3 SAM FAM
L5            94 S L3 FUL FAM
               SAVE L5 TEMP BERKO/A

     FILE 'HCAPLUS' ENTERED AT 12:28:53 ON 05 JUL 2005
L6          2455 S L5
L7       1215957 S CRYST?
L8            46 S L6 AND L7

     FILE 'STNGUIDE' ENTERED AT 12:30:33 ON 05 JUL 2005

     FILE 'HCAPLUS' ENTERED AT 12:31:44 ON 05 JUL 2005
L9           592 S (FORM F)/BI
L10            1 S L9 AND L8
               E CRYSTAL MORPHOLOGY/CT
               E E3+ALL
L11         8113 S E2+NT/CT
               E POLYMORPHISM '('CRYSTAL/CT
               E E4+ALL
               E POLYMORPHISM '('CRYSTAL/CT
               E E4+ALL
L12         6297 S E2+NT/CT
L13            8 S L8 AND L11
L14            8 S L8 AND L12
L15           14 S L13 OR L14
L16       386893 S NMR/BI
L17            0 S L16 AND L8
L18           32 S L8 NOT L15

     FILE 'WPIDS' ENTERED AT 12:39:02 ON 05 JUL 2005
L19          301 S AZITHROMYCIN?
L20           32 S L19 (L) CRYST?
L21            1 S L20 AND NMR
L22           26 S L19 (S) CRYST?
L23           25 S L22 NOT L21
L24         5177 S NUCLEAR MAGNET?
L25            0 S L22 AND L24
L26            1 S L22 AND CHEMICAL (2A)SHIFT?
L27            1 S L21 OR L26

=> dup rem l27 l15
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PROCESSING COMPLETED FOR L27
PROCESSING COMPLETED FOR L15
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L28 14 DUP REM L27 L15 (1 DUPLICATE REMOVED)

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=> fil wpids hcaplus
FILE 'WPIDS' ENTERED AT 12:44:50 ON 05 JUL 2005
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FILE 'HCAPLUS' ENTERED AT 12:44:50 ON 05 JUL 2005
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=> d que  stat l28
L3                STR
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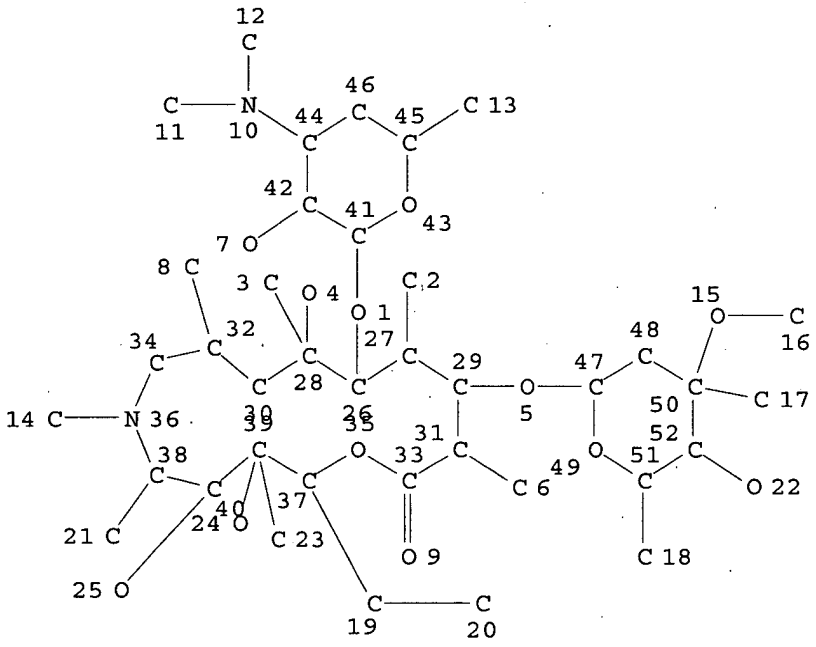

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NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  52

STEREO ATTRIBUTES: NONE
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L6          2455 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L5
L7       1215957 SEA FILE=HCAPLUS ABB=ON  PLU=ON  CRYST?/OBI
L8            46 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L6 AND L7
L11         8113 SEA FILE=HCAPLUS ABB=ON  PLU=ON  "CRYSTAL MORPHOLOGY"+NT/CT
L12         6297 SEA FILE=HCAPLUS ABB=ON  PLU=ON  "POLYMORPHISM (CRYSTAL)"+NT/CT

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L14            8 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L8 AND L12
L15           14 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L13 OR L14
L19          301 SEA FILE=WPIDS ABB=ON  PLU=ON  AZITHROMYCIN?
L20           32 SEA FILE=WPIDS ABB=ON  PLU=ON  L19 (L) CRYST?
L21            1 SEA FILE=WPIDS ABB=ON  PLU=ON  L20 AND NMR
L22           26 SEA FILE=WPIDS ABB=ON  PLU=ON  L19 (S) CRYST?
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L26            1 SEA FILE=WPIDS ABB=ON  PLU=ON  L22 AND CHEMICAL (2A)SHIFT?
L27            1 SEA FILE=WPIDS ABB=ON  PLU=ON  L21 OR L26
L28           14 DUP REM L27 L15 (1 DUPLICATE REMOVED)


=> d .ca hitstr l28 1-14
THE ESTIMATED COST FOR THIS REQUEST IS 72.08 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L28  ANSWER 1 OF 14  HCAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:         2005:96457  HCAPLUS
DOCUMENT NUMBER:          142:183438
TITLE:                    Single dose fast dissolving azithromycin
INVENTOR(S):              Danilovski, Aleksandar; Zdravka, Knezevic
PATENT ASSIGNEE(S):       Pliva-Research and Development Ltd., Croatia
SOURCE:                   PCT Int. Appl., 34 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

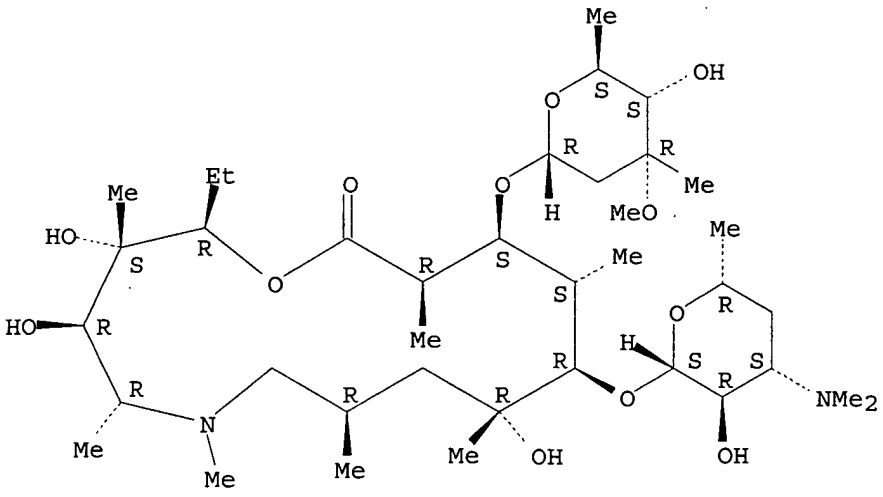
     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
     ---------------      ----   --------    --------------------    --------
     WO 2005009447         A1    20050203    WO 2004-IB2359          20040722
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
     US 2005026851         A1    20050203    US 2004-898147          20040722
PRIORITY APPLN. INFO.:                     US 2003-490187P      P  20030724
ED   Entered STN:  03 Feb 2005
AB   The present disclosure related to a method of treating an infection by
     oral administration of a single dose of a fast dissolving form of
     azithromycin.  The disclosure also relates to a method of reducing the
     adverse effects arising from treatment of a subject having an infection by
     administering a single dose of a fast dissolving form of azithromycin.
     Thus, a dispersible tablet contained azithromycin monohydrate (equivalent to
     1500 mg azithromycin) 1528.29, Et cellulose dispersion 162.18, trisodium
     phosphate 117.90, sodium lauryl sulfate 8.43, microcryst. cellulose
     1086.21, starch 724.14, lactose monohydrate 30.00, aspartame 90.00,
     colloidal silica 30.00, polyaminoalkyl methacrylate 375.00, talc, and Mg
     stearate 42.00 mg.
IC   ICM  A61K031-7084
     ICS  A61P031-00
CC   63-6 (Pharmaceuticals)
     Section cross-reference(s): 1
IT   Dissolution
     Drug bioavailability
     Human
       Polymorphism (crystal)
         (single dose fast-dissolving azithromycin)
IT   83905-01-5, Azithromycin 121470-24-4, Azithromycin
```

monohydrate **601468-60-4**
RL: PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(single dose fast-dissolving azithromycin)

IT **83905-01-5**, Azithromycin **121470-24-4**, Azithromycin monohydrate **601468-60-4**
RL: PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(single dose fast-dissolving azithromycin)

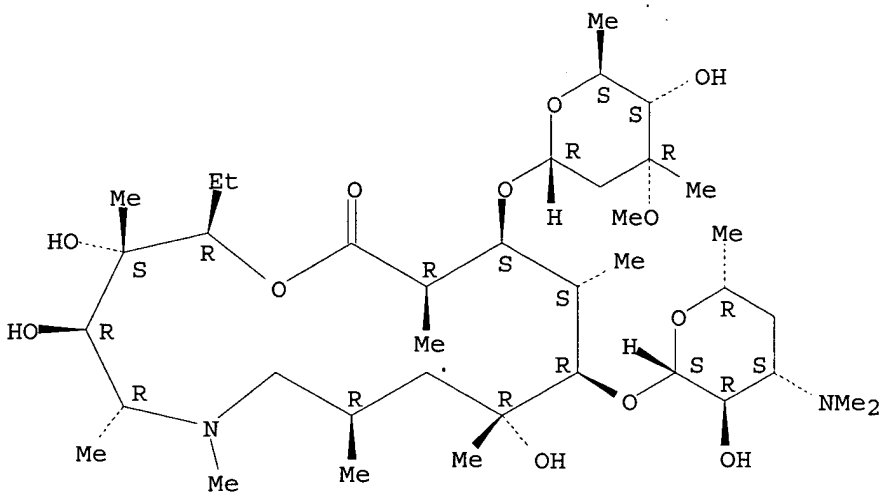
RN 83905-01-5 HCAPLUS
CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 121470-24-4 HCAPLUS
CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, monohydrate, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



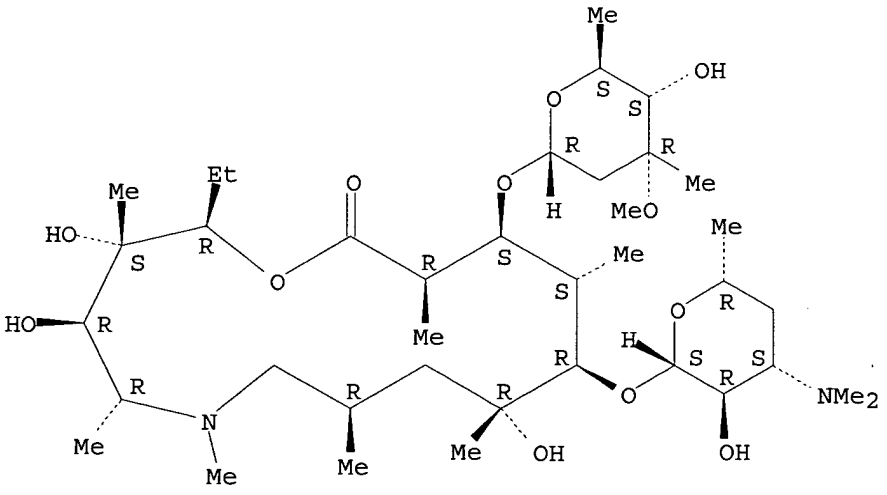
● H_2O

RN 601468-60-4 HCAPLUS
CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)-, compd. with 1,2,3-propanetriol, hydrate (2:1:3) (9CI) (CA INDEX NAME)

CM 1

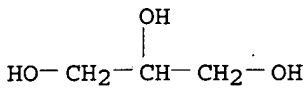
CRN 83905-01-5
CMF C38 H72 N2 O12

Absolute stereochemistry.



CM 2

CRN 56-81-5
CMF C3 H8 O3



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

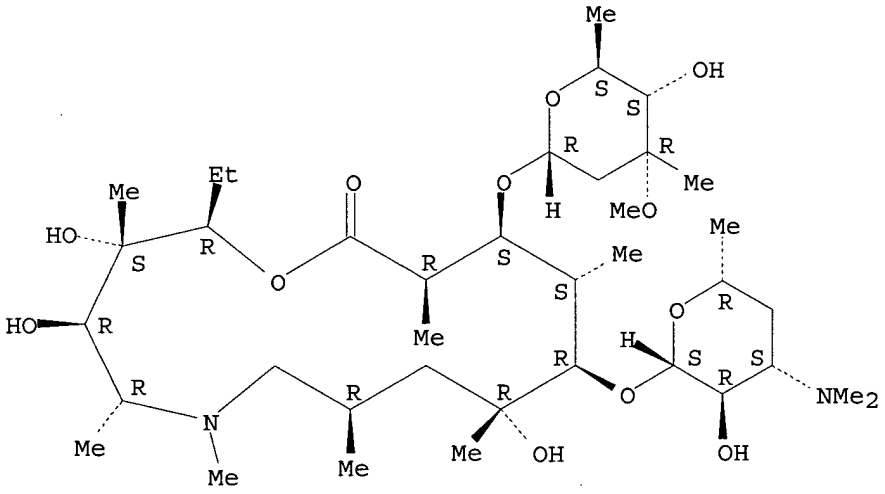
L28 ANSWER 2 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:499971 HCAPLUS
DOCUMENT NUMBER: 143:13538
TITLE: Application of NIR spectroscopy in polymorphic analysis: Study of pseudo-polymorphs stability
AUTHOR(S): Blanco, Marcelo; Valdes, Damarih; Llorente, Isidro; Bayod, Miguel
CORPORATE SOURCE: Unidad de Quimica Analitica, Departamento de Quimica, Facultad de Ciencias, Universidad Autonoma de Barcelona, Barcelona, 08193, Spain
SOURCE: Journal of Pharmaceutical Sciences (2005), 94(6), 1336-1342
CODEN: JPMSAE; ISSN: 0022-3549
PUBLISHER: Wiley-Liss, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 13 Jun 2005
AB The accelerated transformation of 3 azithromycin pseudo-polymorphs (viz. the anhydrous, monohydrate (MH), and dihydrate (DH) forms) at a high temperature and moisture level was examined by near IR spectroscopy (NIRS). The most marked spectral differences between the pseudo-polymorphs occurred in the 1800-2200 nm region, which corresponds to the 1st overtone for water. The qual. anal. of the NIR spectra for the pseudo-polymorphs following storage in a stove at 60°C at 100% relative humidity for 60 days suggests that the crystalline forms (viz. the MH and DH) are stable, whereas the amorphous (anhydrous) form evolves to the DH. This was confirmed by determining the amts. of water and DH present in anhydrous azithromycin and the MH by use of partial least-squares regression (PLSR). The method used to quantify the DH in MH samples was developed and validated in accordance with the stds. of the International Conference of Harmonization (ICH) and the European Medicines Agency (EMEA) with a view to its subsequent application by the pharmaceutical industry. The limits of detection (LD) and quantitation (LQ) for the DH in MH provided by the NIRS method were consistent with those obtained by x-ray diffraction (XRD) methodol. This testifies to the accuracy of the proposed method.
CC 64-3 (Pharmaceutical Analysis)
IT **Polymorphism (crystal)**
(pseudopolymorphism; application of NIR spectroscopy in polymorphic anal. of azithromycin)
IT **83905-01-5**, Azithromycin **117772-70-0**, Azithromycin dihydrate **121470-24-4**, Azithromycin monohydrate
RL: ANT (Analyte); PRP (Properties); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); USES (Uses)
(application of NIR spectroscopy in polymorphic anal. of azithromycin)

IT **83905-01-5**, Azithromycin **117772-70-0**, Azithromycin dihydrate **121470-24-4**, Azithromycin monohydrate
RL: ANT (Analyte); PRP (Properties); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); USES (Uses)
(application of NIR spectroscopy in polymorphic anal. of azithromycin)

RN 83905-01-5 HCAPLUS

CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

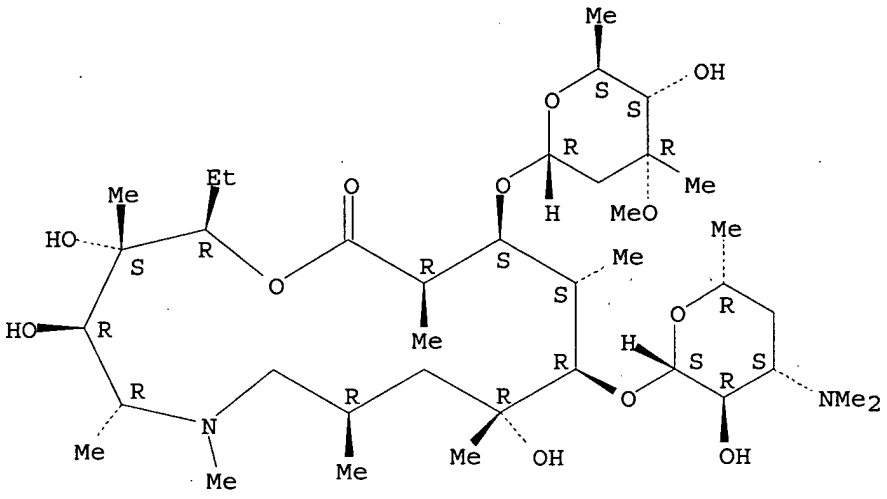
Absolute stereochemistry.



RN 117772-70-0 HCAPLUS

CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, dihydrate, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

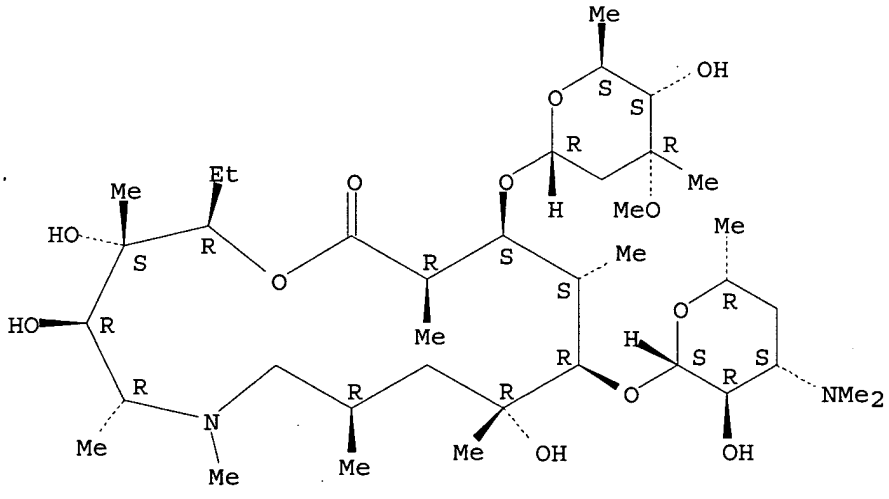
Absolute stereochemistry.



● 2 H_2O

RN 121470-24-4 HCAPLUS
CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, monohydrate, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



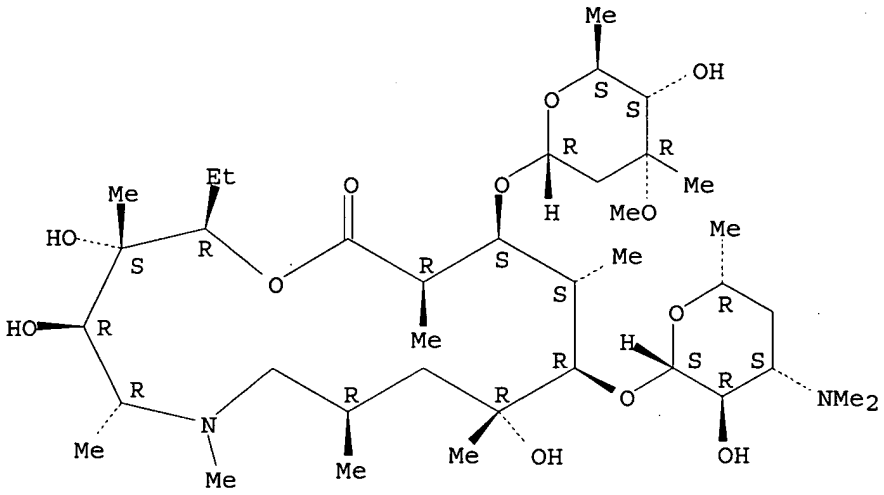
● H_2O

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 3 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:506593 HCAPLUS
DOCUMENT NUMBER: 143:13120
TITLE: An easy and general method for quantifying azithromycin dihydrate in a matrix of amorphous Azithromycin
AUTHOR(S): Montejo-Bernardo, Jose; Garcia-Granda, Santiago; Bayod-Jasanada, Miguel; Llorente, Isidro; Llavona, Lujan
CORPORATE SOURCE: X-Ray Group, Department of Physical and Analytical Chemistry, University of Oviedo, Oviedo, Asturias, 33006, Spain
SOURCE: ARKIVOC (Gainesville, FL, United States) (2005), (9), 321-331
CODEN: AGFUAR
URL: http://www.arkat-usa.org/ark/journal/2005/I09_Molina-Elguero/1323/ME-1323H.pdf
PUBLISHER: Arkat USA Inc.
DOCUMENT TYPE: Journal; (online computer file)
LANGUAGE: English
ED Entered STN: 14 Jun 2005
AB Azithromycin is a macrolide antibiotic with several important advantages over the parent drug, erythromycin A, such as a wider spectrum of activity, better bioavailability and pharmacol. and pharmacokinetic properties, which has a crystalline form and several patented pseudo-polymorphs. There are also amorphous forms which show remarkable advantages over the known crystalline forms, but spontaneously produce the dihydrate under some particular conditions. The amount of crystalline phase is usually below 10% and typically 3.5%. We report a new procedure for directly and easily quantifying the crystalline dihydrate form in samples of amorphous azithromycin by using the x-ray diffraction pattern of the mixture and combining the most intense peak of the dihydrate and an estimation of the background, which gives a good linear relationship between the percentage of crystalline phase and the area of the main peak.
CC 63-5 (Pharmaceuticals)
Section cross-reference(s): 64
IT **Crystal morphology**
X-ray diffraction
(quantifying azithromycin dihydrate in a matrix of amorphous Azithromycin)
IT **83905-01-5P**, Azithromycin **117772-70-0P**, Azithromycin dihydrate
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(quantifying azithromycin dihydrate in a matrix of amorphous Azithromycin)
IT **121470-24-4**, Azithromycin monohydrate
RL: RCT (Reactant); RACT (Reactant or reagent)
(quantifying azithromycin dihydrate in a matrix of amorphous Azithromycin)
IT **83905-01-5P**, Azithromycin **117772-70-0P**, Azithromycin dihydrate
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(quantifying azithromycin dihydrate in a matrix of amorphous Azithromycin)
RN 83905-01-5 HCAPLUS

CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

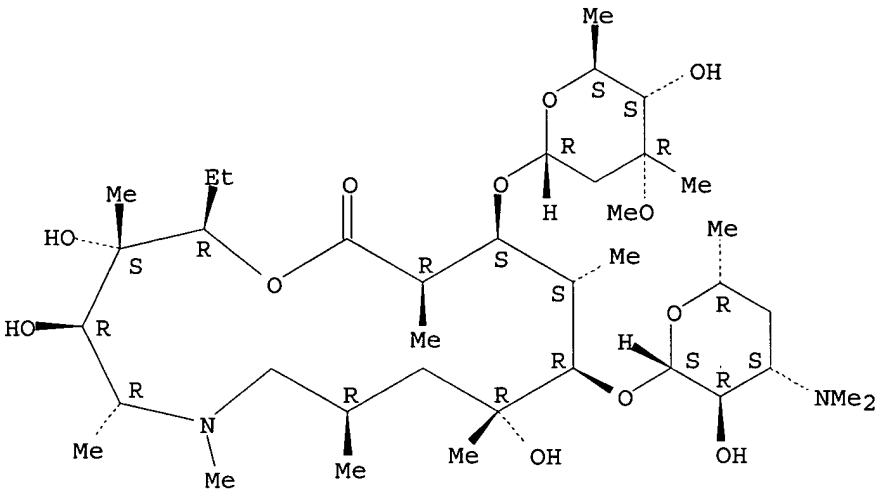
Absolute stereochemistry.



RN 117772-70-0 HCAPLUS

CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, dihydrate, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



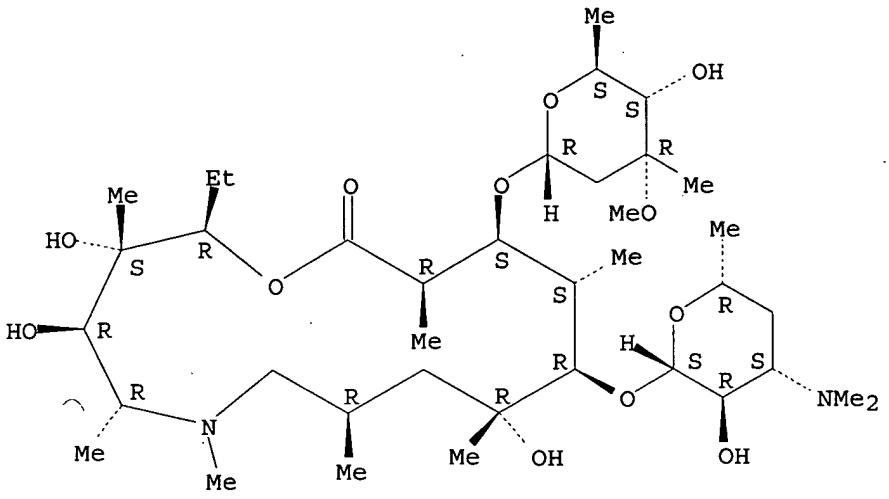
●2 H_2O

IT **121470-24-4**, Azithromycin monohydrate
RL: RCT (Reactant); RACT (Reactant or reagent)
(quantifying azithromycin dihydrate in a matrix of amorphous Azithromycin)

RN 121470-24-4 HCAPLUS

CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, monohydrate, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● H_2O

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 4 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:802764 HCAPLUS
DOCUMENT NUMBER: 141:301478
TITLE: Isopropanolate of azithromycin and method of manufacturing
INVENTOR(S): Tam, Tim Fat; Karimian, Khashayar; Hu, Shui Sheng; Chow, Anna; Storey, Richard William
PATENT ASSIGNEE(S): Apotex Inc., Can.
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004083227	A1	20040930	WO 2004-CA406	20040319
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2422972	AA	20040921	CA 2003-2422972	20030321

PRIORITY APPLN. INFO.: CA 2003-2422972 A 20030321
ED Entered STN: 01 Oct 2004

AB Azithromycin isopropanolate of the empirical formula azithromycin: [H20]x: [isopropanol]y is obtained from the crystallization of azithromycin in isopropanol and water. The x and y values are confirmed by single X-ray diffraction determination In one embodiment x = 1.5 and y = 0.25. In another embodiment x = 0.75 and y = 0.5.

IC ICM C07H017-00
ICS C07H017-08; A61K031-7048; A61P031-04

CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 16

IT **Crystal morphology**
Crystallization
Drying
Filtration
(manufacturing isopropanolates of azithromycin)

IT **762277-44-1P 762277-45-2P**
RL: IMF (Industrial manufacture); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(manufacturing isopropanolates of azithromycin)

IT 67-63-0, Isopropanol, reactions 1310-73-2, Sodium hydroxide, reactions **83905-01-5**, Azithromycin
RL: RCT (Reactant); RACT (Reactant or reagent)
(manufacturing isopropanolates of azithromycin)

IT **762277-44-1P 762277-45-2P**
RL: IMF (Industrial manufacture); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(manufacturing isopropanolates of azithromycin)

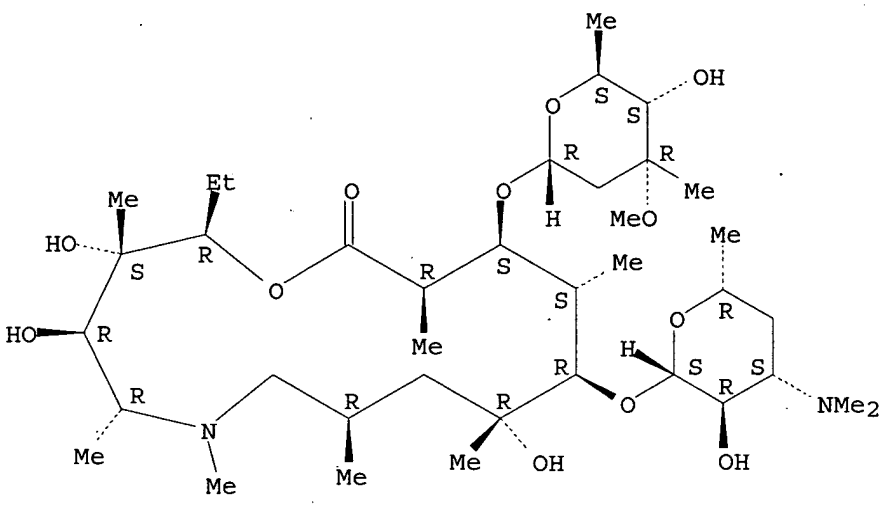
RN 762277-44-1 HCAPLUS

CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)-, compd. with 2-propanol, hydrate (4:2:3) (9CI) (CA INDEX NAME)

CM 1

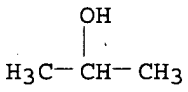
CRN 83905-01-5
CMF C38 H72 N2 O12

Absolute stereochemistry.



CM 2

CRN 67-63-0
CMF C3 H8 O

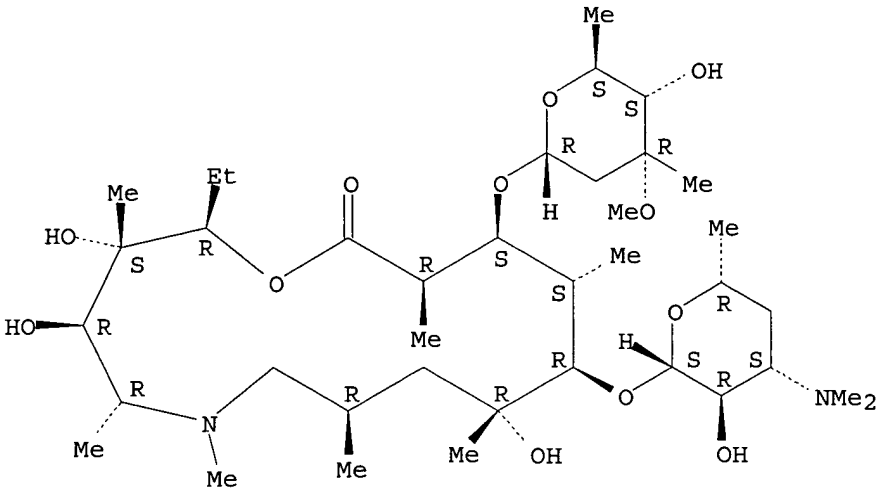


RN 762277-45-2 HCAPLUS
CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)-, compd. with 2-propanol, hydrate (4:1:6) (9CI) (CA INDEX NAME)

CM 1

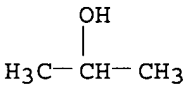
CRN 83905-01-5
CMF C38 H72 N2 O12

Absolute stereochemistry.



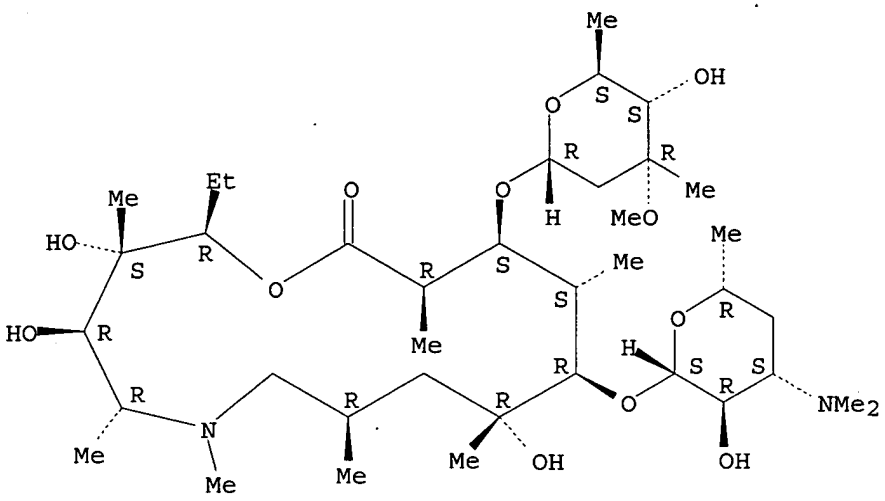
CM 2

CRN 67-63-0
CMF C3 H8 O



IT **83905-01-5**, Azithromycin
RL: RCT (Reactant); RACT (Reactant or reagent)
(manufacturing isopropanolates of azithromycin)
RN 83905-01-5 HCAPLUS
CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:80702 HCAPLUS
DOCUMENT NUMBER: 140:128605
TITLE: Novel amorphous 9-deoxo-9a-aza-9a-methyl-9a-homoerythromycin A, process for preparing the same, and use thereof
INVENTOR(S): Dumic, Miljenko; Vinkovic, Mladen; Oresic, Marina; Mestrovic, Ernest; Danilovski, Aleksandar; Dumbovic, Alojz; Knezevic, Zdravka; Lazarevski, Gorjana; Filic, Darko; Cincic, Dominik; Lazaric, Katica; Bucar, Dejan-kresimir
PATENT ASSIGNEE(S): Pliva, D.D., Croatia; et al.
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004009608	A2	20040129	WO 2003-HR40	20030721
WO 2004009608	A3	20040325		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004092460	A1	20040513	US 2003-624911	20030721

PRIORITY APPLN. INFO.: HR 2002-614 A 20020722
ED Entered STN: 01 Feb 2004

AB Substantially pure amorphous 9-deoxo-9a-aza-9a-methyl-9a-homoerythromycin A. In addition, this disclosure is directed to a process for the preparation thereof from crude 9-deoxo-9a-aza-9a-methyl-9a-homoerythromycin A via orthorhombic iso-structural pseudo-polymorphs of 9-deoxo-9a-aza-9a-methyl-9a-homoerythromycin A x H2O x S; wherein S represents a water-miscible or water-immiscible organic solvent, characterized by the orthorhombic space group P212121, with average unit cell parameters a = 8.2 to 9.7 Å, b = 11.5 to 13.5 Å, c = 44.5 to 47.0 Å, α = β = γ = 90°, wherein a, b and c represent the crystal axes lengths and α, β, and γ represent the angles between the crystal axes. In addition, pharmaceutical compns. containing the substantially pure amorphous 9-deoxo-9a-aza-9a-methyl-9a-homoerythromycin A are disclosed, as well as a method for the treatment of bacterial and protozoal infections, and inflammation related diseases in humans and animals by administration of a pharmaceutical composition containing same (no data).

IC ICM C07H017-00

CC 33-7 (Carbohydrates)
Section cross-reference(s): 1, 63, 75

ST protozoacide inflammation antibacterial deoxoazamethylhomoerythromycin prepg solvation **crystn**; macrolide glycoside deoxoazamethylhomoerythromycin prepg solvation **crystn** mol assocn polymorphism

IT Antibiotics
(aminoglycoside; preparation, solvation, and **crystallization** of antibacterial amorphous 9-deoxo-9a-aza-9a-methyl-9a-homoerythromycin A)

IT Infection
(bacterial; preparation, solvation, and **crystallization** of antibacterial amorphous 9-deoxo-9a-aza-9a-methyl-9a-homoerythromycin A)

IT Antibiotics
(macrolide; preparation, solvation, and **crystallization** of antibacterial amorphous 9-deoxo-9a-aza-9a-methyl-9a-homoerythromycin A)

IT Anti-inflammatory agents
Antibacterial agents
Crystallization
Human
Inflammation
Molecular association
Polymorphism (crystal)
Protozoacides
Solvation
(preparation, solvation, and **crystallization** of antibacterial amorphous 9-deoxo-9a-aza-9a-methyl-9a-homoerythromycin A)

IT Glycosides
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation, solvation, and **crystallization** of antibacterial amorphous 9-deoxo-9a-aza-9a-methyl-9a-homoerythromycin A)

IT Infection
(protozoal; preparation, solvation, and **crystallization** of antibacterial amorphous 9-deoxo-9a-aza-9a-methyl-9a-homoerythromycin A)

IT **117772-70-0P 121470-24-4P**
RL: BSU (Biological study, unclassified); IMF (Industrial manufacture); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation, solvation, and **crystallization** of antibacterial amorphous 9-deoxo-9a-aza-9a-methyl-9a-homoerythromycin A)

IT **554432-20-1P 554432-26-7P 649719-65-3P**
649719-66-4P 649719-67-5P 649719-68-6P
RL: BSU (Biological study, unclassified); IMF (Industrial manufacture); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study);

PREP (Preparation)
(preparation, solvation, and **crystallization** of antibacterial amorphous 9-deoxo-9a-aza-9a-methyl-9a-homoerythromycin A)

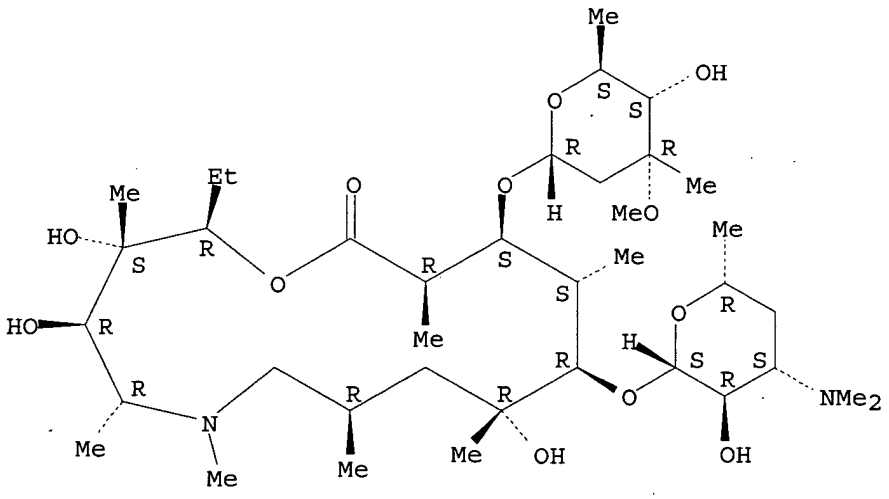
IT **83905-01-5P**
RL: BSU (Biological study, unclassified); IMF (Industrial manufacture); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation, solvation, and **crystallization** of antibacterial amorphous 9-deoxo-9a-aza-9a-methyl-9a-homoerythromycin A)

IT 76801-85-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation, solvation, and **crystallization** of antibacterial amorphous 9-deoxo-9a-aza-9a-methyl-9a-homoerythromycin A)

IT **117772-70-0P 121470-24-4P**
RL: BSU (Biological study, unclassified); IMF (Industrial manufacture); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation, solvation, and **crystallization** of antibacterial amorphous 9-deoxo-9a-aza-9a-methyl-9a-homoerythromycin A)

RN 117772-70-0 HCAPLUS
CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, dihydrate, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

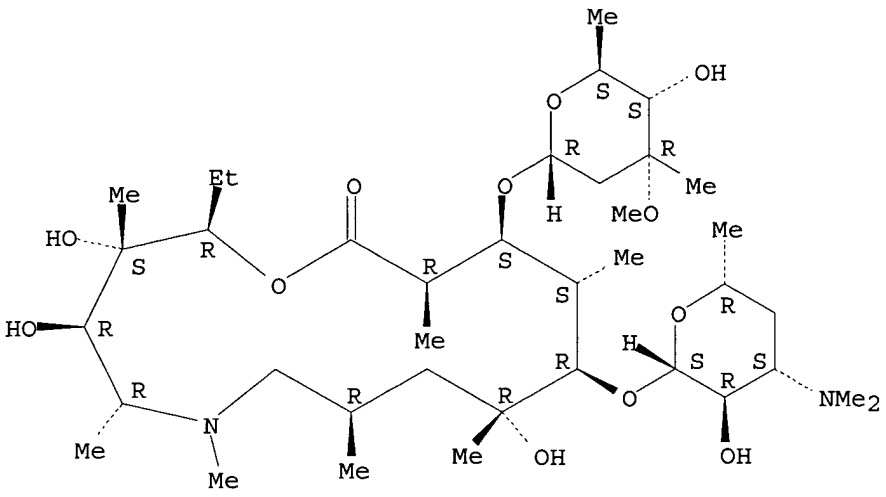


●2 H_2O

RN 121470-24-4 HCAPLUS
CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, monohydrate, (2R,3S,4R,5R,8R,10R,11R,12S,13S,1

4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● H_2O

IT **554432-20-1P 554432-26-7P 649719-65-3P**
649719-66-4P
RL: BSU (Biological study, unclassified); IMF (Industrial manufacture); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation, solvation, and **crystallization** of antibacterial amorphous 9-deoxo-9a-aza-9a-methyl-9a-homoerythromycin A)

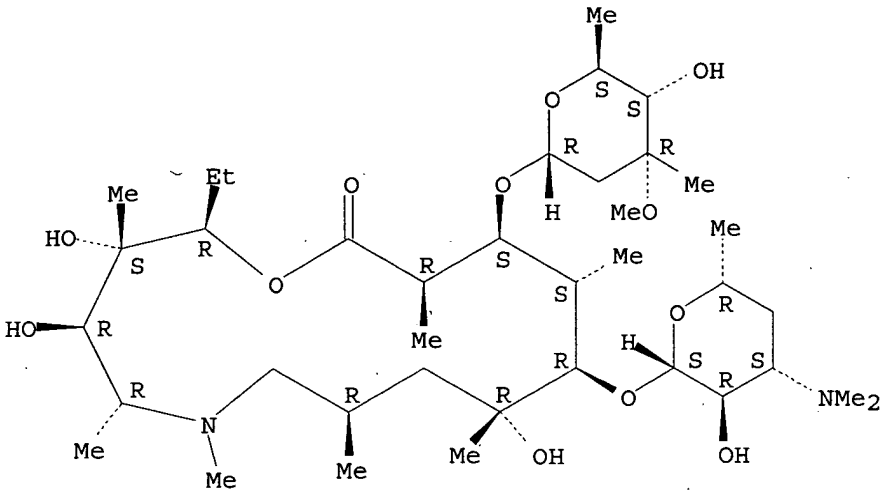
RN 554432-20-1 HCAPLUS

CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)-, compd. with cyclohexane (1:1), monohydrate (9CI) (CA INDEX NAME)

CM 1

CRN 83905-01-5
CMF C38 H72 N2 O12

Absolute stereochemistry.



CM 2

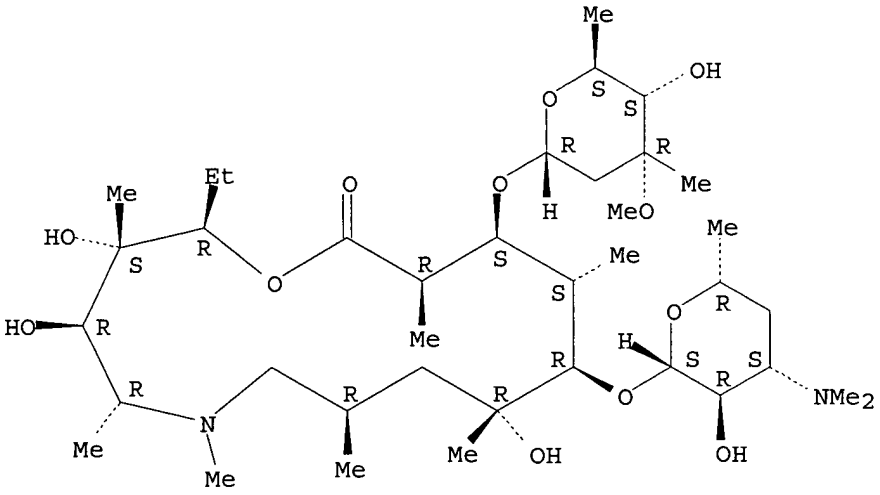
CRN 110-82-7
CMF C6 H12

RN 554432-26-7 HCAPLUS
CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)-, compd. with 2-methoxy-2-methylpropane (1:1), monohydrate (9CI) (CA INDEX NAME)

CM 1

CRN 83905-01-5
CMF C38 H72 N2 O12

Absolute stereochemistry.



CM 2

CRN 1634-04-4
CMF C5 H12 O

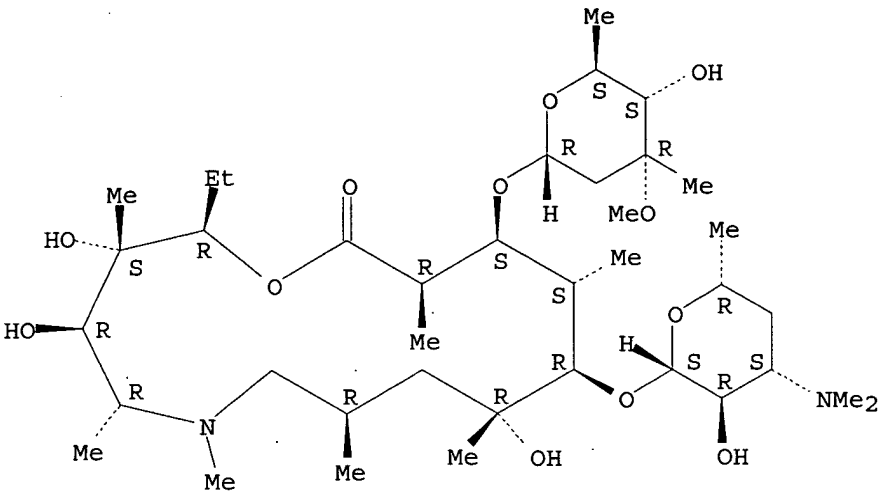
t-Bu—O—Me

RN 649719-65-3 HCAPLUS
CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)-, compd. with 1,4-dioxane (1:1), monohydrate (9CI) (CA INDEX NAME)

CM 1

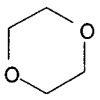
CRN 83905-01-5
CMF C38 H72 N2 O12

Absolute stereochemistry.



CM 2

CRN 123-91-1
CMF C4 H8 O2

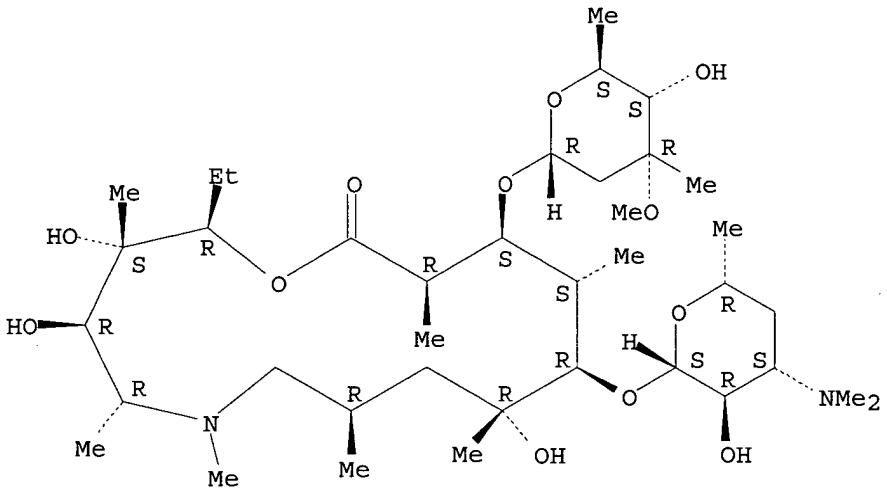


RN 649719-66-4 HCAPLUS
CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)-, compd. with 2-methyl-2-propanol (1:1), monohydrate (9CI) (CA INDEX NAME)

CM 1

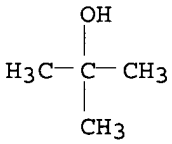
CRN 83905-01-5
CMF C38 H72 N2 O12

Absolute stereochemistry.



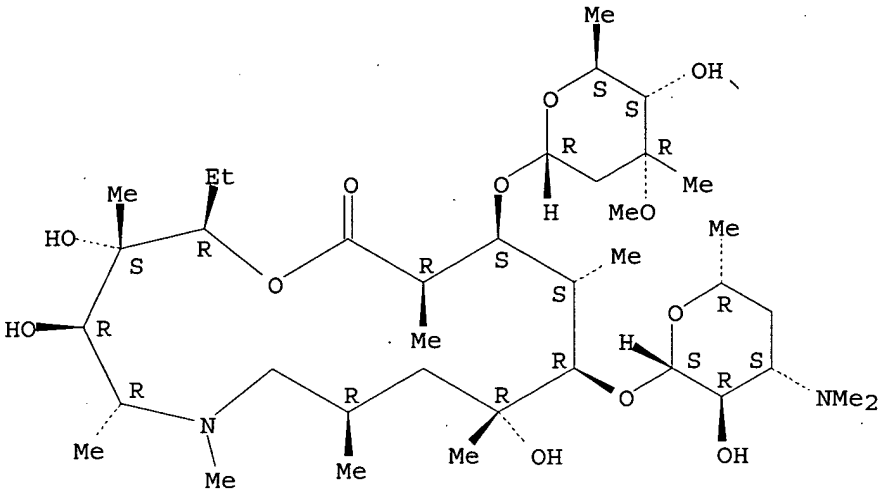
CM 2

CRN 75-65-0
CMF C4 H10 O



IT **83905-01-5P**
RL: BSU (Biological study, unclassified); IMF (Industrial manufacture); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation, solvation, and **crystallization** of antibacterial amorphous 9-deoxo-9a-aza-9a-methyl-9a-homoerythromycin A)
RN 83905-01-5 HCAPLUS
CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 6 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:247008 HCAPLUS
DOCUMENT NUMBER: 140:276177
TITLE: An improved process for the preparation of azithromycin monohydrate
INVENTOR(S): Srinivasan, Rengaruju
PATENT ASSIGNEE(S): Alembic, Limited, India
SOURCE: Eur. Pat. Appl., 11 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1400528	A1	20040324	EP 2002-292305	20020919

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

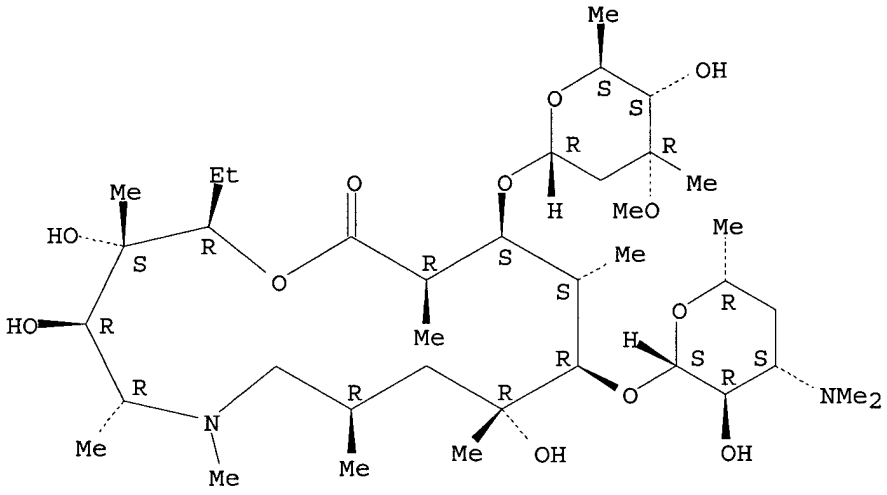
PRIORITY APPLN. INFO.: EP 2002-292305 20020919
ED Entered STN: 25 Mar 2004
AB The invention relates to a process for making Azithromycin crystals using a number of process steps that avoids the use of cumbersome and/or inefficient extraction and/or isolation procedures. To a MeCN solution of 9-deoxo-9a-aza-9a-homoerythromycin A was added formic acid and formaldehyde and the soln refluxed for 24h, and pH adjusted with NaOH to 10.5 to give azithromycin monohydrate.
IC ICM C07H017-00
CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 33
ST azithromycin monohydrate **crystal** prepn
IT **Crystal morphology**
(preparation of azithromycin monohydrate)
IT **121470-24-4P**, Azithromycin monohydrate
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azithromycin monohydrate)
IT **121470-24-4P**, Azithromycin monohydrate

RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azithromycin monohydrate)

RN 121470-24-4 HCAPLUS

CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, monohydrate, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● H_2O

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 7 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:1000983 HCAPLUS
DOCUMENT NUMBER: 140:223064
TITLE: Characterization and analysis of polymorphs by near-infrared spectrometry
AUTHOR(S): Blanco, M.; Valdes, D.; Bayod, M. S.; Fernandez-Mari, F.; Llorente, I.
CORPORATE SOURCE: Facultad de Ciencias, Unidad de Quimica Analitica, Departamento de Quimica Edifici Cn, Universidad Autonoma de Barcelona, Barcelona, E-08193, Spain
SOURCE: Analytica Chimica Acta (2004), 502(2), 221-227
CODEN: ACACAM; ISSN: 0003-2670
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 23 Dec 2003
AB The polymorphic purity of drug is of high pharmaceutical interest as it often dictates its bioavailability. In this work, we developed a rapid, efficient method for the characterization and determination of azithromycin

polymorphs using near-IR (NIR) spectrometry. The drug is characterized by comparison with a NIR spectral library that permits one to determine whether the amount of crystalline form contained in an amorphous azithromycin sample exceeds allowed levels. While the crystalline form is a hydrate, the amorphous form is anhydrous; however, the absorption of a small amount of moisture by the drug reduces the spectral differences between the 2 forms and hinders the establishment of an accurate calibration model. We determined the crystalline form by using a partial least-squares regression model (PLS1) for calibration and examined the influence of factors such as spectral treatment, wavelength range and moisture content on the results. The high correlation between the spectra for the 2 forms enabled the development of a PLS2 model for determining both species jointly. The proposed method was validated with a view to its subsequent use in the anal. control of azithromycin.

CC 63-5 (Pharmaceuticals)
Section cross-reference(s): 64

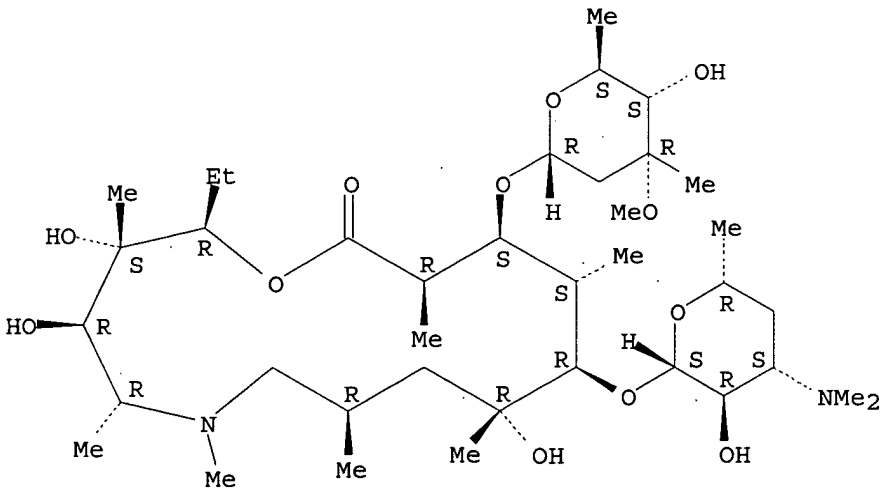
IT **Crystal morphology**
Polymorphism (crystal)
(characterization and anal. of azithromycin polymorphs by near-IR spectrometry)

IT **83905-01-5**, Azithromycin **117772-70-0**
RL: ANT (Analyte); PRP (Properties); ANST (Analytical study)
(characterization and anal. of azithromycin polymorphs by near-IR spectrometry)

IT **83905-01-5**, Azithromycin **117772-70-0**
RL: ANT (Analyte); PRP (Properties); ANST (Analytical study)
(characterization and anal. of azithromycin polymorphs by near-IR spectrometry)

RN 83905-01-5 HCAPLUS
CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

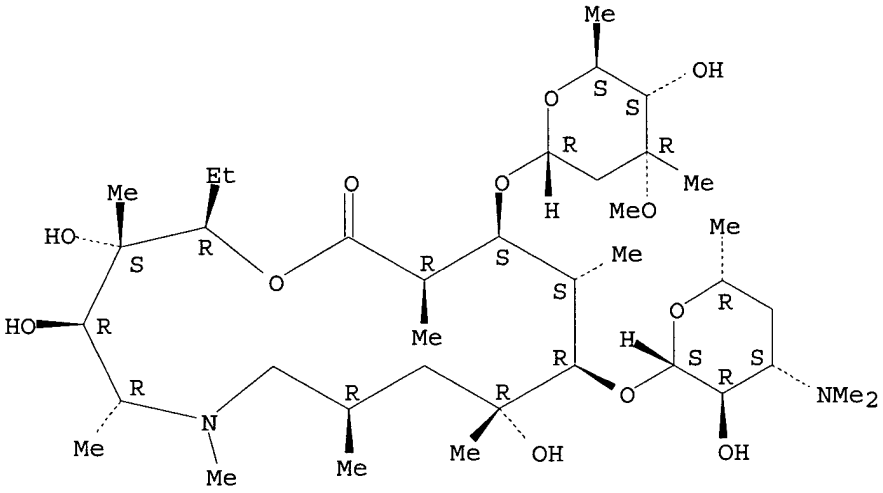
Absolute stereochemistry.



RN 117772-70-0 HCAPLUS
CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-

α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, dihydrate, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●2 H_2O

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 8 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:610241 HCAPLUS
DOCUMENT NUMBER: 139:154932
TITLE: Dry granulated formulations of azithromycin
INVENTOR(S): Johnson, Barbara Alice; Quan, Ernest Shing
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003063838	A1	20030807	WO 2003-IB212	20030120

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,

FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2474809	AA	20030807	CA 2003-2474809		20030120
EP 1478347	A1	20041124	EP 2003-734611		20030120
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
BR 2003007331	A	20041207	BR 2003-7331		20030120
US 2003228357	A1	20031211	US 2003-355575		20030131
PRIORITY APPLN. INFO.:			US 2002-354041P	P	20020201
			WO 2003-IB212	W	20030120

ED Entered STN: 08 Aug 2003

AB This invention relates to a pharmaceutical formulation, in the form of a tablet, sachet or powder for suspension dosage forms, which comprises dry granulated particles of a non-dihydrate form of azithromycin and, optionally, one or more excipients. Preferably, the pharmaceutical formulation is a tablet containing 40-85% non-dihydrate azithromycin. More preferably, the pharmaceutical formulation contains non-dihydrate azithromycin selected from the forms B, D, E, F, G, H, J, M, N, 0, P, Q, R, or mixts. thereof. Even more preferably, the invention relates to a pharmaceutical formulation wherein the dosage of azithromycin is 250, 500, 600, or 1000 mg. The oval shaped tablets made with drug forms A and J broke during friability testing. The low drug loading formulation with drug form F showed good tablet friability and hardness consistent with its better tensile strength. All of the modified capsule shaped tablets made with the high drug loading granulations resulted in acceptable tablet hardness and good tablet friability.

IC ICM A61K009-20
ICS A61K031-70

CC 63-6 (Pharmaceuticals)

IT Compaction
Compressibility
Compression
Friability
Hardness (mechanical)
Lubricants
Polymorphism (crystal)
Tensile strength
(dry granulated formulations of azithromycin)

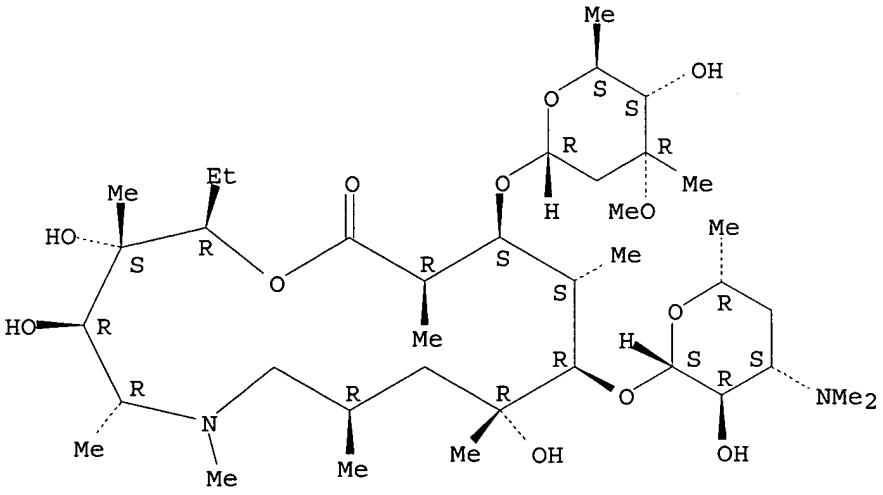
IT 63-42-3, Lactose 7789-77-7, Dicalcium phosphate dihydrate 64044-51-5, Lactose monohydrate **83905-01-5**, Azithromycin **554432-16-5** **554432-17-6** **554432-18-7** **554432-19-8** **554432-20-1** **554432-21-2** **554432-22-3** **554432-24-5** **554432-25-6** **554432-26-7** **571168-08-6**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(dry granulated formulations of azithromycin)

IT **83905-01-5**, Azithromycin **554432-16-5** **554432-17-6** **554432-18-7** **554432-19-8** **554432-20-1** **554432-21-2** **554432-22-3** **554432-24-5** **554432-25-6** **554432-26-7** **571168-08-6**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(dry granulated formulations of azithromycin)

RN 83905-01-5 HCAPLUS

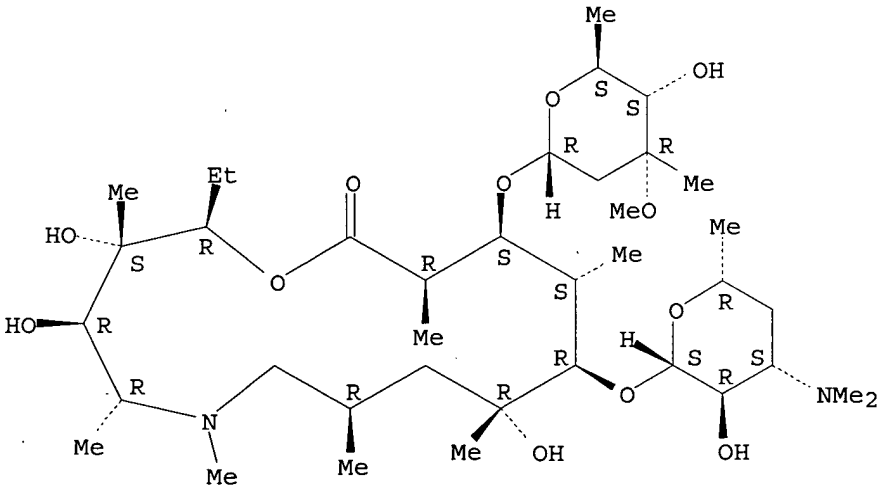
CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 554432-16-5 HCAPLUS
CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, hydrate (2:3), (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●3/2 H_2O

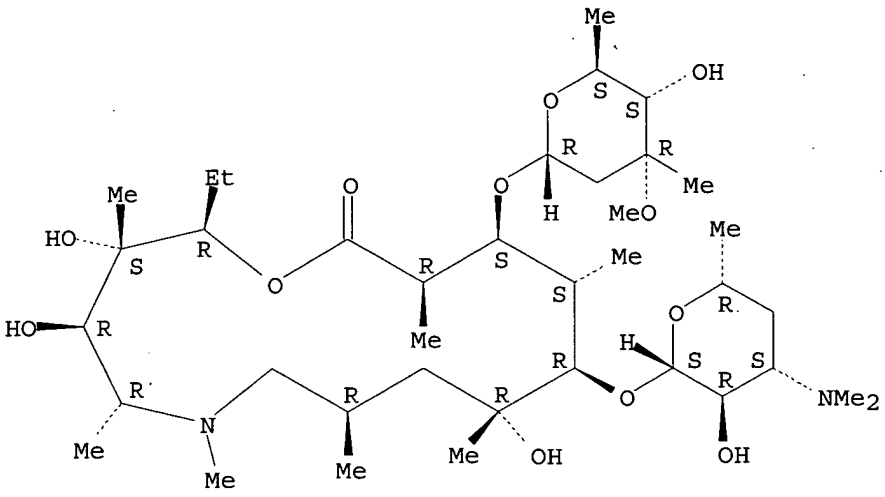
RN 554432-17-6 HCAPLUS
CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-β-

D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)-, compd. with 1-propanol (2:1), dihydrate (9CI) (CA INDEX NAME)

CM 1

CRN 83905-01-5
CMF C38 H72 N2 O12

Absolute stereochemistry.



CM 2

CRN 71-23-8
CMF C3 H8 O

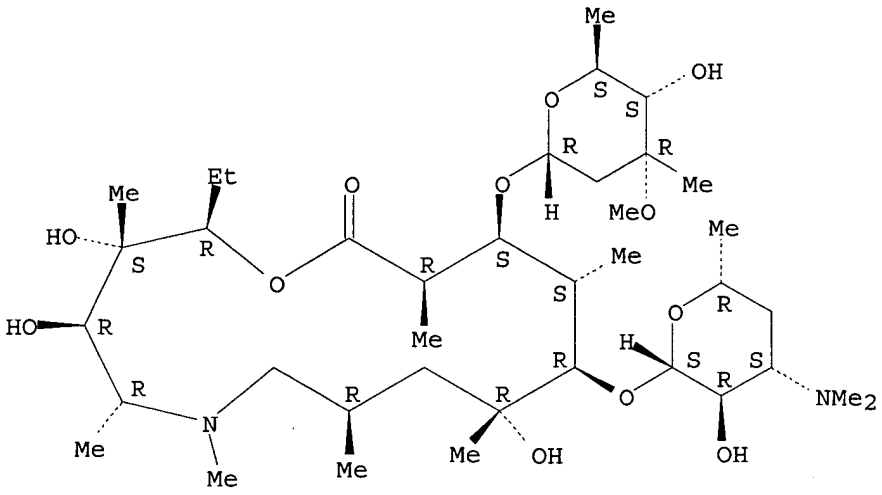
$H_3C-CH_2-CH_2-OH$

RN 554432-18-7 HCAPLUS
CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)-, compd. with 2-propanol (2:1), dihydrate (9CI) (CA INDEX NAME)

CM 1

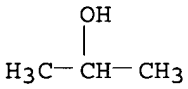
CRN 83905-01-5
CMF C38 H72 N2 O12

Absolute stereochemistry.



CM 2

CRN 67-63-0
CMF C3 H8 O

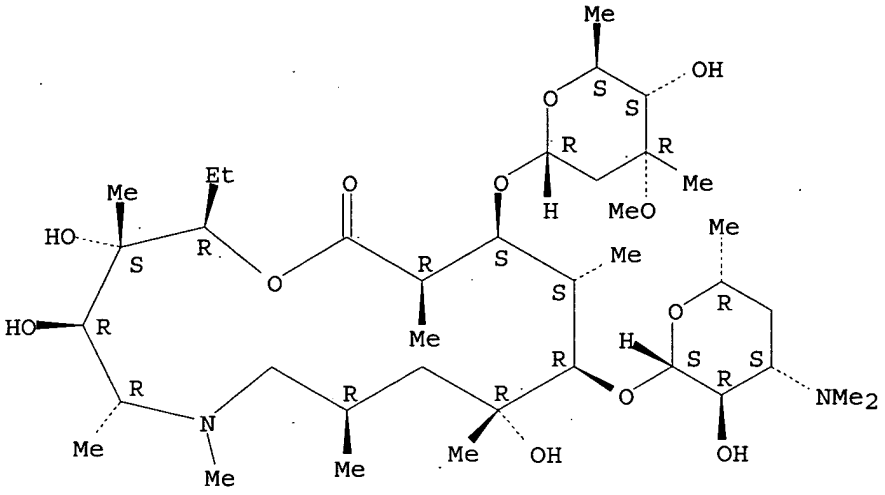


RN 554432-19-8 HCAPLUS
CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)-, compd. with ethanol (2:1), dihydrate (9CI) (CA INDEX NAME)

CM 1

CRN 83905-01-5
CMF C38 H72 N2 O12

Absolute stereochemistry.



CM 2

CRN 64-17-5
CMF C2 H6 O

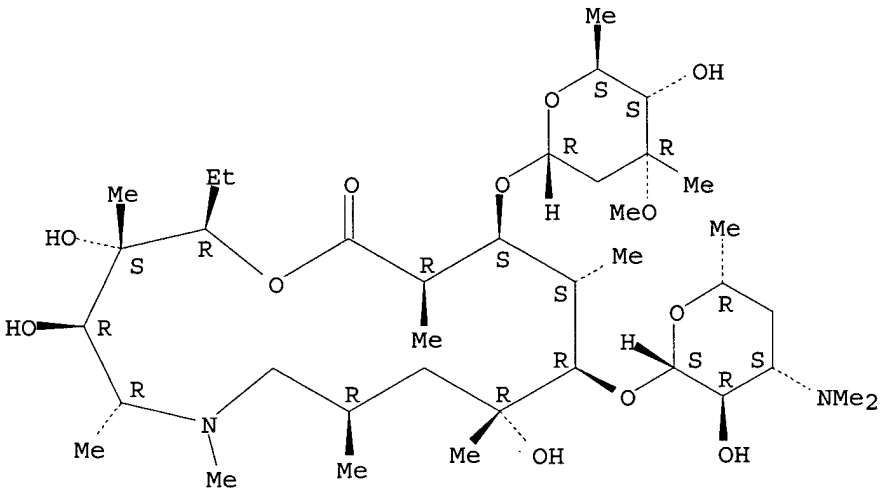
H_3C-CH_2-OH

RN 554432-20-1 HCAPLUS
CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)-, compd. with cyclohexane (1:1), monohydrate (9CI) (CA INDEX NAME)

CM 1

CRN 83905-01-5
CMF C38 H72 N2 O12

Absolute stereochemistry.



CM 2

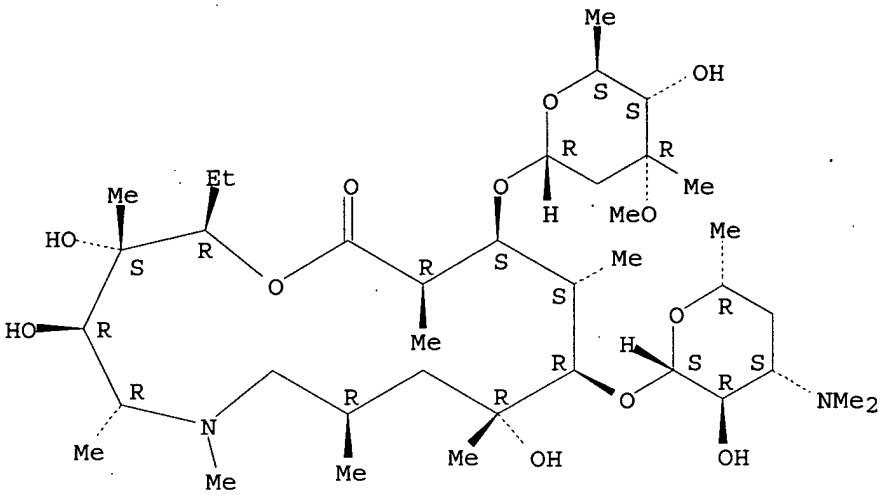
CRN 110-82-7
CMF C6 H12

RN 554432-21-2 HCAPLUS
CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)-, compd. with tetrahydrofuran (1:1), monohydrate (9CI) (CA INDEX NAME)

CM 1

CRN 83905-01-5
CMF C38 H72 N2 O12

Absolute stereochemistry.



CM 2

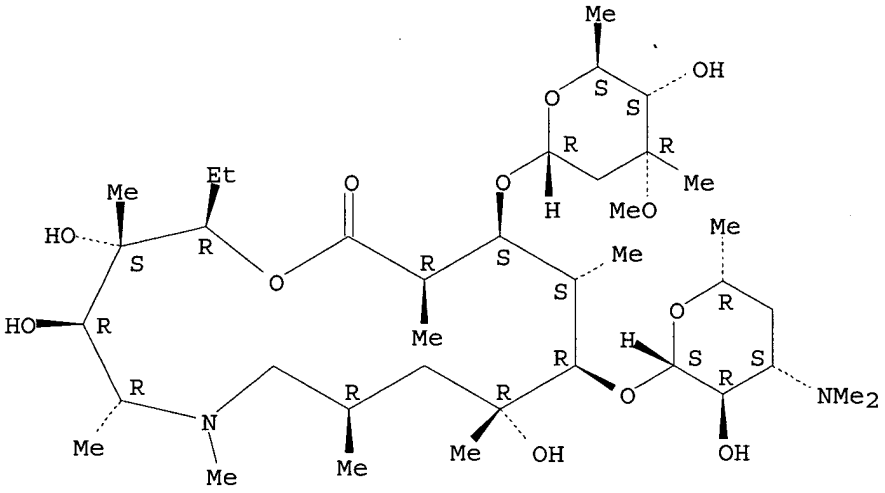
CRN 109-99-9
CMF C4 H8 O

RN 554432-22-3 HCAPLUS
CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)-, compd. with 1,2-propanediol (2:1), dihydrate (9CI) (CA INDEX NAME)

CM 1

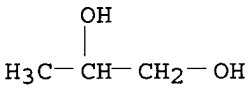
CRN 83905-01-5
CMF C38 H72 N2 O12

Absolute stereochemistry.



CM 2

CRN 57-55-6
CMF C3 H8 O2

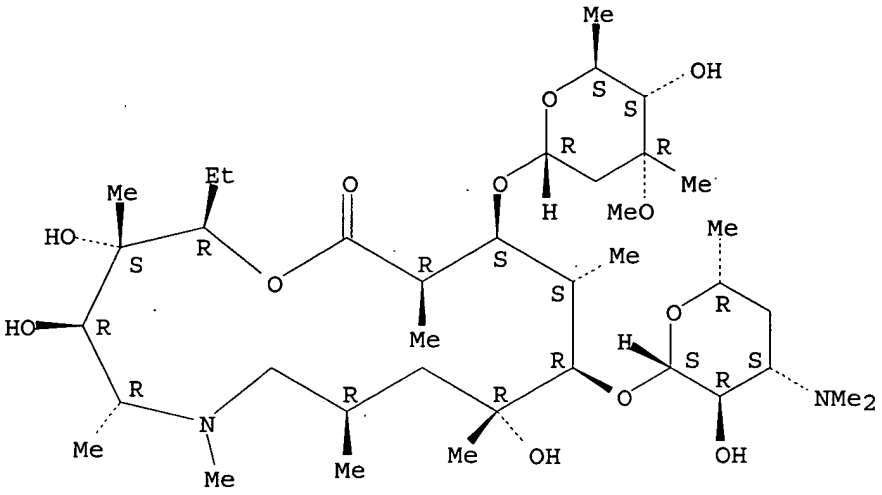


RN 554432-24-5 HCAPLUS
CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)-, compd. with 1-pentanol (2:1), dihydrate (9CI) (CA INDEX NAME)

CM 1

CRN 83905-01-5
CMF C38 H72 N2 O12

Absolute stereochemistry.



CM 2

CRN 71-41-0
CMF C5 H12 O

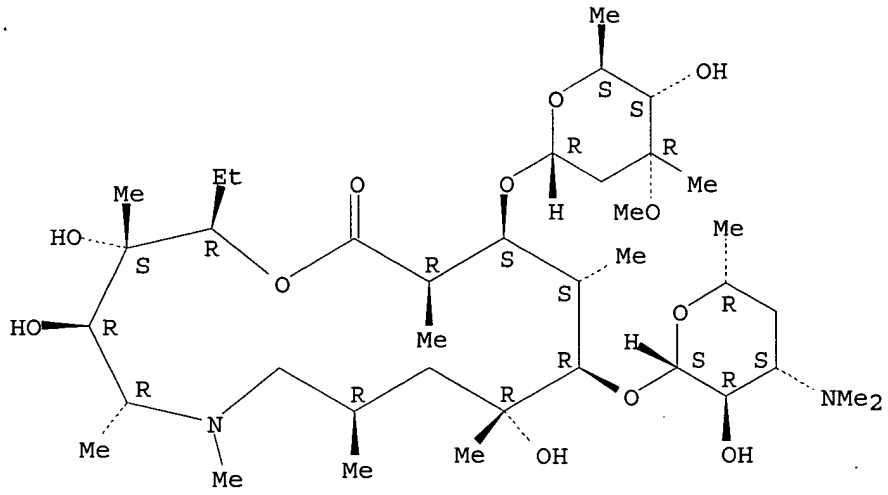
$Me-(CH_2)_4-OH$

RN 554432-25-6 HCAPLUS
CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)-, compd. with tetrahydrofuran (2:1), dihydrate (9CI) (CA INDEX NAME)

CM 1

CRN 83905-01-5
CMF C38 H72 N2 O12

Absolute stereochemistry.



CM 2

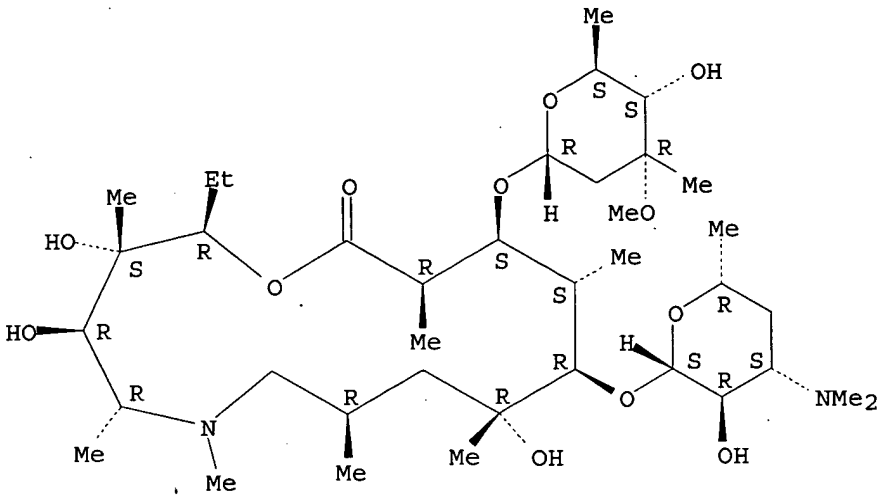
CRN 109-99-9
CMF C4 H8 O

RN 554432-26-7 HCAPLUS
CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)-, compd. with 2-methoxy-2-methylpropane (1:1), monohydrate (9CI) (CA INDEX NAME)

CM 1

CRN 83905-01-5
CMF C38 H72 N2 O12

Absolute stereochemistry.



CM 2

CRN 1634-04-4
CMF C5 H12 O

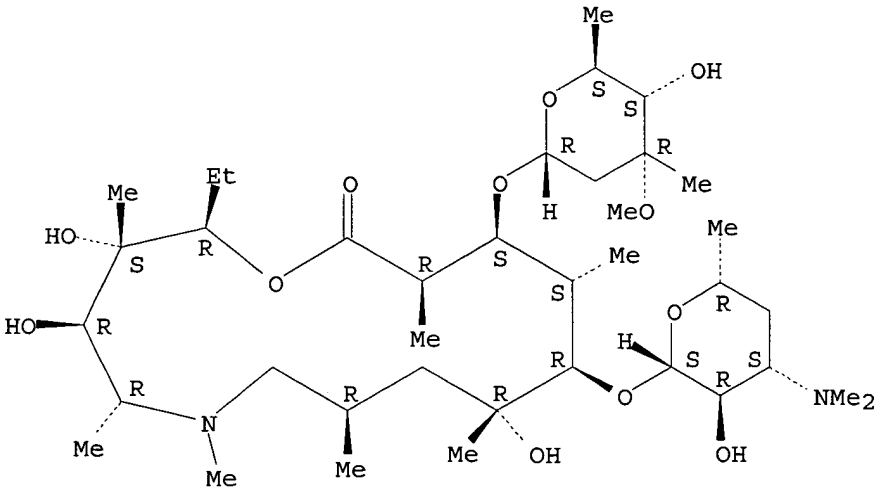
t-Bu—O—Me

RN 571168-08-6 HCAPLUS
CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)-, compd. with 1-butanol (2:1), dihydrate (9CI) (CA INDEX NAME)

CM 1

CRN 83905-01-5
CMF C38 H72 N2 O12

Absolute stereochemistry.



CM 2

CRN 71-36-3
CMF C4 H10 O

$H_3C-CH_2-CH_2-CH_2-OH$

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 9 OF 14 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN DUPLICATE 1
ACCESSION NUMBER: 2003-120783 [11] WPIDS
DOC. NO. CPI: C2003-031348
TITLE: New **crystal** forms of **azithromycin** useful e.g. treating or preventing bacterial or protozoal infections and disorders related to such infections e.g. pneumonia, otitis media, bronchitis, tonsillitis, and mastoiditis.
DERWENT CLASS: B03
INVENTOR(S): TRASK, A V; ZHENG, J L; LI, Z J
PATENT ASSIGNEE(S): (LIZJ-I) LI Z J; (TRAS-I) TRASK A V; (PFIZ) PFIZER PROD INC
COUNTRY COUNT: 101
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 2002094843	A1	20021128	(200311)*	EN	38
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ NL OA PT SD SE SL SZ TR TZ UG ZM ZW					
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ OM PH PL PT RO RU SD SE SG SI SK SL TJ TM TN TR TT TZ UA UG US UZ VN YU ZA ZM ZW					
US 2003162730	A1	20030828	(200357)		

NO 2003005177	A	20040113 (200412)			
EP 1390377	A1	20040225 (200415)	EN		
R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT RO SE SI TR					
US 2004043944	A1	20040304 (200417)			
US 2004043945	A1	20040304 (200417)			
BR 2002009918	A	20040330 (200424)			
US 2004082527	A1	20040429 (200429)			
CZ 2003003154	A3	20040414 (200435)			
KR 2004014525	A	20040214 (200439)			
SK 2003001440	A3	20040608 (200441)			
US 2004121966	A1	20040624 (200442)			
US 2004138149	A1	20040715 (200447)			
AU 2002256846	A1	20021203 (200452)			
JP 2004530703	W	20041007 (200466)		109	
HU 2004000446	A2	20040928 (200470)			
MX 2003010028	A1	20040201 (200473)			
ZA 2003008341	A	20041124 (200481)		78	
US 6861413	B2	20050301 (200516)			
US 2005090459	A1	20050428 (200530)			
CA 2500217	A1	20021122 (200536)	EN		

APPLICATION DETAILS:

PATENT NO	KIND		APPLICATION	DATE
WO 2002094843	A1		WO 2002-IB1570	20020501
US 2003162730	A1	Provisional	US 2001-292565P	20010522
		Provisional	US 2001-297741P	20010612
		Provisional	US 2001-343041P	20011221
			US 2002-152106	20020521
NO 2003005177	A		WO 2002-IB1570	20020501
			NO 2003-5177	20031121
EP 1390377	A1		EP 2002-726369	20020501
			WO 2002-IB1570	20020501
US 2004043944	A1	Provisional	US 2001-292565P	20010522
		Provisional	US 2001-297741P	20010612
		Provisional	US 2001-343041P	20011221
		Cont of	US 2002-152106	20020521
			US 2003-650252	20030827
US 2004043945	A1	Provisional	US 2001-292565P	20010522
		Provisional	US 2001-297741P	20010612
		Provisional	US 2001-343041P	20011221
		Cont of	US 2002-152106	20020521
			US 2003-652962	20030828
BR 2002009918	A		BR 2002-9918	20020501
			WO 2002-IB1570	20020501
US 2004082527	A1	Provisional	US 2001-292565P	20010522
		Provisional	US 2001-297741P	20010612
		Provisional	US 2001-343041P	20011221
		Cont of	US 2002-152106	20020521
			US 2003-652655	20030828
CZ 2003003154	A3		WO 2002-IB1570	20020501
			CZ 2003-3154	20020501
KR 2004014525	A		KR 2003-715125	20031120
SK 2003001440	A3		WO 2002-IB1570	20020501
			SK 2003-1440	20020501
US 2004121966	A1	Provisional	US 2001-292565P	20010522
		Provisional	US 2001-297741P	20010612
		Provisional	US 2001-343041P	20011221

		CIP of	US 2002-152106	20020521
			US 2003-621226	20030715
US 2004138149	A1	Provisional	US 2001-292565P	20010522
		Provisional	US 2001-297741P	20010612
		Provisional	US 2001-343041P	20011221
		Cont of	US 2002-152106	20020521
			US 2003-650254	20030827
AU 2002256846	A1		AU 2002-256846	20020501
JP 2004530703	W		JP 2002-591516	20020501
			WO 2002-IB1570	20020501
HU 2004000446	A2		WO 2002-IB1570	20020501
			HU 2004-446	20020501
MX 2003010028	A1		WO 2002-IB1570	20020501
			MX 2003-10028	20031031
ZA 2003008341	A		ZA 2003-8341	20031027
US 6861413	B2	Provisional	US 2001-292565P	20010522
		Provisional	US 2001-297741P	20010612
		Provisional	US 2001-343041P	20011221
		CIP of	US 2002-152106	20020521
			US 2003-621226	20030715
US 2005090459	A1	Provisional	US 2001-292565P	20010522
		Provisional	US 2001-297741P	20010612
		Provisional	US 2001-343041P	20011221
		Cont of	US 2002-152106	20020521
			US 2003-650253	20030827
CA 2500217	A1	Div ex	CA 2002-2391659	20020501
			CA 2002-2500217	20020501

FILING DETAILS:

PATENT NO	KIND		PATENT NO
EP 1390377	A1	Based on	WO 2002094843
BR 2002009918	A	Based on	WO 2002094843
CZ 2003003154	A3	Based on	WO 2002094843
SK 2003001440	A3	Based on	WO 2002094843
AU 2002256846	A1	Based on	WO 2002094843
JP 2004530703	W	Based on	WO 2002094843
HU 2004000446	A2	Based on	WO 2002094843
MX 2003010028	A1	Based on	WO 2002094843

PRIORITY APPLN. INFO: US 2001-343041P 20011221; US
2001-292565P 20010522; US
2001-297741P 20010612; US
2002-152106 20020521; US
2003-650252 20030827; US
2003-652962 20030828; US
2003-652655 20030828; US
2003-621226 20030715; US
2003-650254 20030827; US
2003-650253 20030827

ED 20030214
AN 2003-120783 [11] WPIDS
AB WO 200294843 A UPAB: 20030214
NOVELTY - A **crystalline** form of **azithromycin** selected from F, G (both substantially pure), D, E, H, J, M (substantially in the absence of **azithromycin** dihydrate), N, O, P, Q or R, is new.
ACTIVITY - Antibacterial; Antiinflammatory; Antirheumatic; Antipyretic; Nephrotropic; Dermatological; Uropathic; Vasotropic; Ophthalmological; Antiarteriosclerotic; Protozoacide; Antiulcer;

Antitussive; Antimicrobial. Test details are given but no results given.

MECHANISM OF ACTION - None given.

USE - The **azithromycin** is useful for treating or preventing bacterial or protozoal infections and disorders related to such infections, e.g. pneumonia, otitis media, sinusitis, bronchitis, tonsillitis, and mastoiditis; pharyngitis, rheumatic fever, and glomerulonephritis; respiratory tract infections; uncomplicated skin and soft tissue infections, abscesses and osteomyelitis, and puerperal fever; uncomplicated acute urinary tract infections; urethritis and cervicitis; and sexually transmitted diseases; toxin diseases (e.g. food poisoning and Toxic shock syndrome); ulcers; systemic febrile syndromes; gastroenteritis; intestinal protozoa; odontogenic infection; persistent cough; gas gangrene; and atherosclerosis or malaria; also bacterial infections and protozoa infections in animals e.g. bovine respiratory disease; cow enteric disease; dairy cow mastitis; swine respiratory disease; swine enteric disease; cow foot rot; cow metritis; cow hairy warts; cow pink-eye; cow premature abortion related to infection by protozoa (i.e. neosporium); urinary tract infection in dogs and cats; skin and soft tissue infections in dogs and cats; and dental or mouth infections in dogs and cats. The compounds are also useful as research or diagnostic tools.

ADVANTAGE - The **crystal** forms of isomorphic family I (such as form P, Q or N) are more heat stable compared to form A.

Dwg.0/33

L28 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN

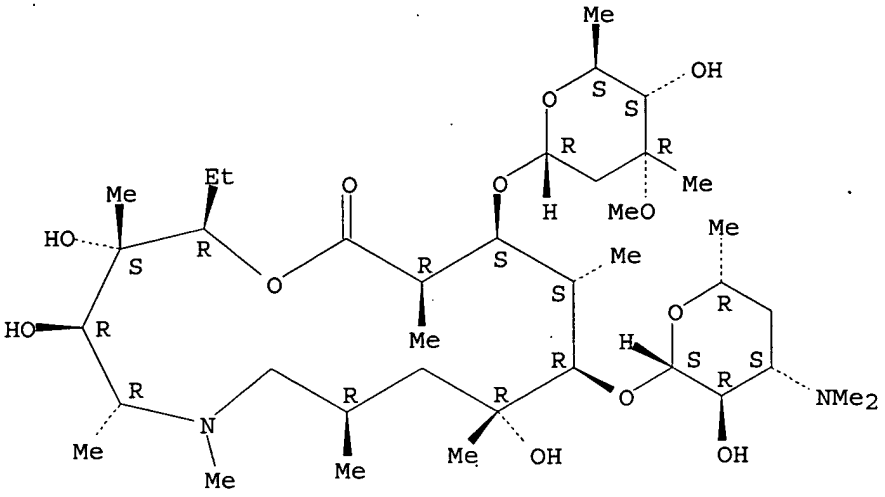
ACCESSION NUMBER: 2002:716061 HCAPLUS
DOCUMENT NUMBER: 137:237750
TITLE: Composition for rectal delivery of an oxazolidinone antibacterial drug
INVENTOR(S): Pena, Lorraine E.; McCurdy, Vincent E.; Clark, Carol S.
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2002072066	A1	20020919	WO 2002-US3627		20020205
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2441854	AA	20020919	CA 2002-2441854		20020205
US 2003008012	A1	20030109	US 2002-72493		20020205
EP 1365739	A1	20031203	EP 2002-728336		20020205
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
JP 2004520432	T2	20040708	JP 2002-571025		20020205
PRIORITY APPLN. INFO.:			US 2001-266528P	P	20010205
			US 2001-285260P	P	20010420

WO 2002-US3627 W 20020205

OTHER SOURCE(S): MARPAT 137:237750
ED Entered STN: 20 Sep 2002
AB There is provided a pharmaceutical composition suitable for rectal administration, the composition comprising at least 1 oxazolidinone antibacterial drug, e.g., linezolid, in a concentration effective for treatment and/or prophylaxis of a gram-pos. bacterial infection, at least 1 oxazolidinone being in particulate form having a particle size of about 0.5-150 μm, dispersed in a carrier in which the oxazolidinone is poorly soluble The composition is, a suppository, an enema, a microenema or a rectal capsule. Suppositories containing 2.9% linezolid by weight, in a particulate form dispersed in a lipophilic carrier, were prepared by the following procedure. Hard fat (Witepsol H-32 97.123 g) was melted and mixed with 2.877 g linezolid which had been milled to a particle size of 14 μm. The resulting linezolid hard fat mixture was then homogenized at high speed. The homogenized mixture of linezolid and molten hard fat was filled into suppository molds and allowed to cool at room temperature overnight. The resulting solidified suppositories were removed from the molds.
IC ICM A61K009-02
ICS A61K031-5355; A61P031-04
CC 63-6 (Pharmaceuticals)
IT Particle size distribution
Polymorphism (crystal)
(composition for rectal delivery of oxazolidinone antibacterial drug)
IT 56-75-7, Chloramphenicol 58-14-0, Pyrimethamine 60-54-8, Tetracycline 69-53-4, Ampicillin 79-57-2, Oxytetracycline 114-07-8, Erythromycin 127-33-3, Demeclocycline 127-69-5, Sulfisoxazole 138-39-6, Mafenide 144-80-9, Sulfacetamide 443-48-1, Metronidazole 564-25-0, Doxycycline 738-70-5, Trimethoprim 914-00-1, Methacycline 1066-17-7, Colistin 1403-66-3, Gentamicin 1404-04-2, Neomycin 1404-26-8, Polymyxin B 10118-90-8, Minocycline 18323-44-9, Clindamycin 22199-08-2, Silver sulfadiazine 25953-19-9, Cefazolin 32986-56-4, Tobramycin 37517-28-5, Amikacin 55268-75-2, Cefuroxime 61477-96-1, Piperacillin 62893-19-0, Cefoperazone 63527-52-6, Cefotaxime 64221-86-9, Imipenem 68373-14-8, Sulbactam 68401-81-0, Ceftizoxime 70458-96-7, Norfloxacin 72558-82-8, Ceftazidime 73384-59-5, Ceftriaxone 78110-38-0, Aztreonam 79350-37-1, Cefixime 82419-36-1, Ofloxacin 83200-96-8, Carbapenem **83905-01-5**, Azithromycin 85721-33-1, Ciprofloxacin 100986-85-4, Levofloxacin 165800-03-3, Linezolid 383199-88-0
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(composition for rectal delivery of oxazolidinone antibacterial drug)
IT **83905-01-5**, Azithromycin
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(composition for rectal delivery of oxazolidinone antibacterial drug)
RN 83905-01-5 HCAPLUS
CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 11 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:107051 HCAPLUS
DOCUMENT NUMBER: 136:156437
TITLE: Process for the preparation of anhydrous azithromycin
INVENTOR(S): Singh, Shiva Prasad; Mukarram, S. M. Jaweed; Purohit, Manish; Khorakiwala, Habil F.
PATENT ASSIGNEE(S): India
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002009640	A2	20020207	WO 2000-IN73	20000801
WO 2002009640	A3	20020523		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001014115	A5	20020213	AU 2001-14115	20000801
PRIORITY APPLN. INFO.:			WO 2000-IN73 W	20000801

OTHER SOURCE(S): MARPAT 136:156437
ED Entered STN: 10 Feb 2002
AB The present invention provides a stable form of azithromycin derivs. that act as antibiotics. These compound are in anhydrous form and have increased stability over the hydrated forms. The anhydrous form was prepared through a sequence of reactions starting with erythromycin A oxime, 9a-aza-9a-homoerythromycin, 9-deoxo-9a-aza-9a-homoerythromycin,

9-deoxo-9a-methyl-9a-aza-9a-homoerythromycin A, and azithromycin dihydrate.

IC ICM A61K

CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 33

IT **Crystal morphology**
(preparation of anhydrous azithromycin)

IT **83905-01-5P**, Azithromycin
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of anhydrous azithromycin)

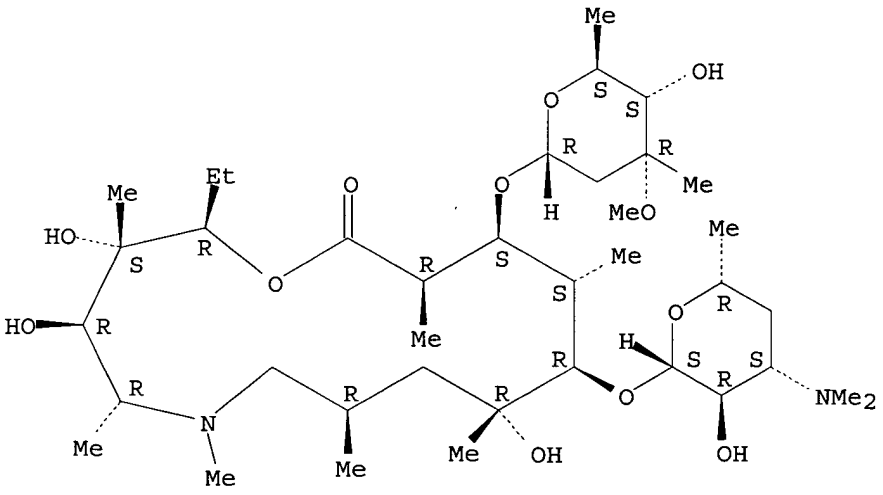
IT 13127-18-9P, Erythromycin A oxime 76801-85-9P 76820-32-1P, 9a-Aza-9a-homoerythromycin **117772-70-0P**, Azithromycin dihydrate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of anhydrous azithromycin)

IT **83905-01-5P**, Azithromycin
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of anhydrous azithromycin)

RN 83905-01-5 HCAPLUS

CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

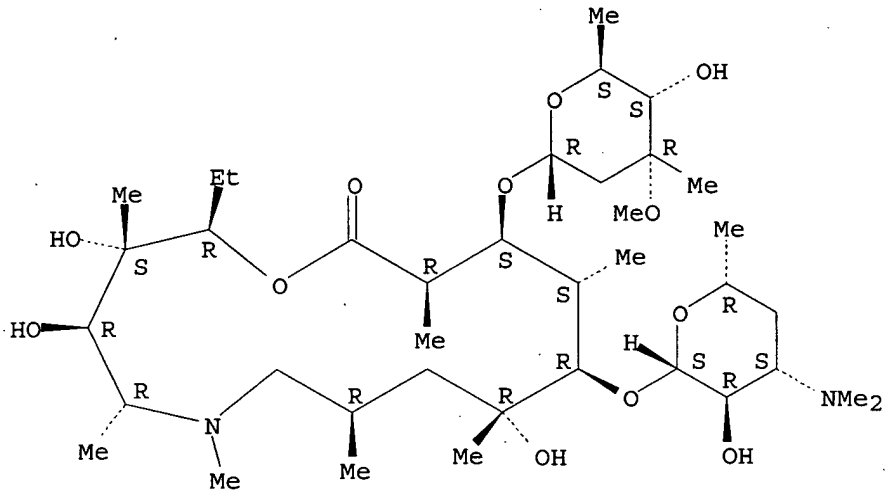


IT **117772-70-0P**, Azithromycin dihydrate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of anhydrous azithromycin)

RN 117772-70-0 HCAPLUS

CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, dihydrate, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●2 H_2O

L28 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:617863 HCAPLUS
DOCUMENT NUMBER: 135:200445
TITLE: Pharmaceutical or veterinary paste formulations containing silica and viscosity modifier
INVENTOR(S): Jun, Chen
PATENT ASSIGNEE(S): Merial Limited, UK
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001060409	A1	20010823	WO 2001-EP1155	20010205
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2003007958	A1	20030109	US 2000-504741	20000216
US 6787342	B2	20040907		
CA 2400317	AA	20010823	CA 2001-2400317	20010205
EP 1263467	A1	20021211	EP 2001-905731	20010205
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
BR 2001008449	A	20030401	BR 2001-8449		20010205
JP 2003522805	T2	20030729	JP 2001-559505		20010205
NZ 520707	A	20040730	NZ 2001-520707		20010205
ZA 2002006484	A	20031016	ZA 2002-6484		20020814
PRIORITY APPLN. INFO.:			US 2000-504741	A	20000216
			WO 2001-EP1155	W	20010205

ED Entered STN: 24 Aug 2001

AB A pharmaceutical or veterinary paste formulation comprises a drug, fumed silica, a viscosity modifier, a hydrophilic carrier, optionally, an absorbent and a dye, stabilizer, surfactant, or preservative. This invention also provides for methods of using these formulations for treating various disease states as well. Thus, a paste was prepared containing 3-(cyclopropylmethoxy)-5,5-dimethyl-4-((4-methylsulfonyl)phenyl)-5H-furan-2-one (COX-2 inhibitor) 0.82, TiO2 0.2, MgCO3 2, fumed silica 4.25, and PEG-300 0.4% and triacetin qs.

IC ICM A61K047-02
ICS A61K047-18; A61K047-26; A61K047-34; A61K047-10

CC 63-6 (Pharmaceuticals)

IT Absorbents
Acaricides
Antibacterial agents
Antibiotics
Antioxidants
Cattle
Dyes
Flea (Siphonaptera)
Horse (Equus caballus)
Insecticides
Parasiticides
Polymorphism (crystal)
Preservatives
Stabilizing agents
Surfactants
Swine
(pharmaceutical or veterinary paste formulations containing silica and viscosity modifier)

IT 50-33-9, Phenylbutazone, biological studies 50-81-7, Ascorbic acid, biological studies 52-51-7, Bronopol 54-64-8 55-56-1, Chlorhexidine 55-68-5, Phenylmercuric nitrate 56-81-5, Glycerol, biological studies 57-15-8, Chlorobutanol 57-55-6, Propylene glycol, biological studies 59-02-9, α-Tocopherol 60-12-8, Phenylethyl alcohol 62-38-4, Phenylmercuric acetate 65-85-0, Benzoic acid, biological studies 100-51-6, Benzyl alcohol, biological studies 102-71-6, Triethanolamine, biological studies 102-76-1, Triacetin 102-98-7, Phenylmercuric borate 108-95-2, Phenol, biological studies 110-17-8, Fumaric acid, biological studies 110-44-1, Sorbic acid 114-07-8, Erythromycin 121-54-0, Benzethonium chloride 121-79-9, Propyl gallate 122-99-6, Phenoxyethanol 128-37-0, BHT, biological studies 134-03-2, Sodium ascorbate 137-40-6, Sodium propionate 137-66-6, Ascorbyl palmitate 141-43-5, Monoethanolamine, biological studies 471-34-1, Calcium carbonate, biological studies 532-32-1, Sodium benzoate 546-93-0, Magnesium carbonate 1319-77-3, Cresol 1321-10-4, Chlorocresol 6915-15-7, Malic acid 7681-57-4, Sodium metabisulfite 8044-71-1, Cetrimide 9004-34-6, Cellulose, biological studies 9004-34-6D, Cellulose, derivs., biological studies 9005-25-8, Starch, biological studies 9005-65-6, Tween 80 13463-67-7, Titanium oxide, biological studies 22071-15-4, Ketoprofen 22204-53-1, Naproxen 24634-61-5, Potassium sorbate 25013-16-5, BHA 25322-68-3, Polyethylene glycol 38098-46-3, Monothioglycerol 38677-85-9, Flunixin 51570-36-6D,

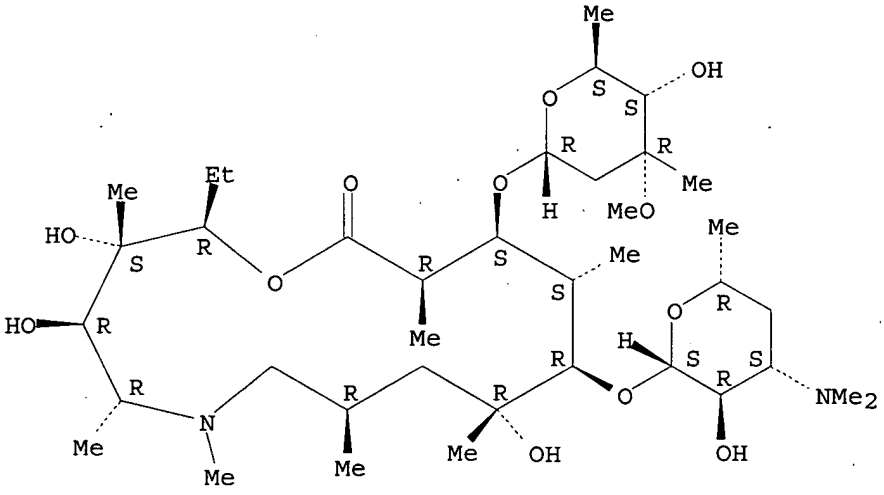
Milbemycin, analogs 53716-49-7, Carprofen 55268-74-1, Praziquantel 70288-86-7, Ivermectin 71125-38-7, Meloxicam 71751-41-2, Abamectin 73590-58-6, Omeprazole 73989-17-0D, Avermectin, analogs 77466-09-2, Miglyol 840 **83905-01-5**, Azithromycin 106392-12-5, Poloxamer 113507-06-5, Moxidectin 117704-25-3, Doramectin 119791-41-2, Emamectin 120068-37-3, Fipronil 123997-26-2, Eprinomectin 138261-41-3, Imidacloprid 145513-17-3, 8a-Azalide 163120-03-4, Nodulisporic acid 220119-17-5, Selamectin
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical or veterinary paste formulations containing silica and viscosity modifier)

IT **83905-01-5**, Azithromycin
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical or veterinary paste formulations containing silica and viscosity modifier)

RN 83905-01-5 HCAPLUS

CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 13 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:507710 HCAPLUS
DOCUMENT NUMBER: 135:97494
TITLE: Preparation method of azithromycin dihydrate
INVENTOR(S): Aronhime, Judith; Singer, Claude; Pesachovich, Michael
PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.
SOURCE: PCT Int. Appl., 11 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001049697	A1	20010712	WO 2001-US364	20010104
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2396426	AA	20010712	CA 2001-2396426	20010104
US 2001047089	A1	20011129	US 2001-755829	20010104
US 6586576	B2	20030701		
EP 1246831	A1	20021009	EP 2001-939983	20010104
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRIORITY APPLN. INFO.:			US 2000-174330P P	20000104
			US 2000-220681P P	20000725
			WO 2001-US364 W	20010104

ED Entered STN: 13 Jul 2001

AB This invention relates to a method for preparing azithromycin dihydrate from crude azithromycin by the gradual crystallization of azithromycin from acetone by the addition of a minimal amount of water to effect crystal formation. This invention also relates to a method of making azithromycin from desmethylazithromycin by dissolving desmethylazithromycin in acetone, adding activated carbon, adding formaldehyde, adding formic acid; refluxing the desmethyl-azithromycin acetone solution, adding sodium hydroxide to induce precipitation of azithromycin, and isolating azithromycin.

IC ICM C07H001-00
ICS C07H017-08

CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 33

IT **Crystal morphology**
Crystallization
(preparation of azithromycin dihydrate)

IT **83905-01-5P**, Azithromycin
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of azithromycin dihydrate)

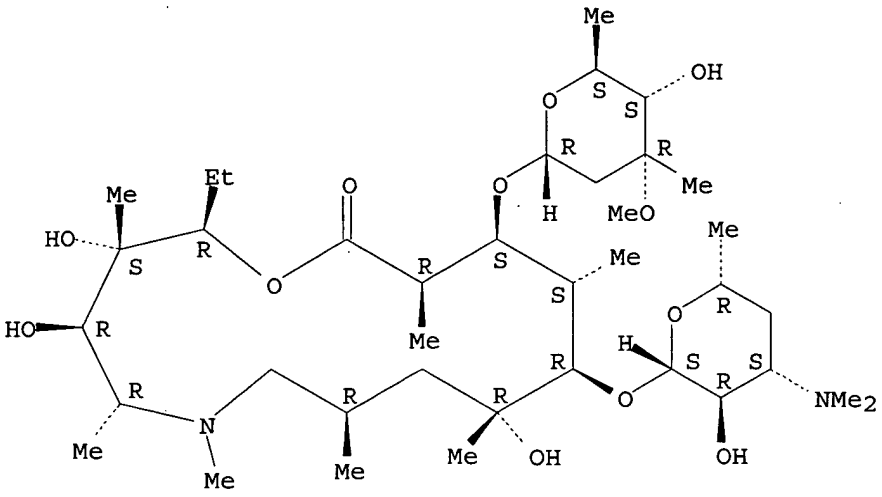
IT **117772-70-0P**, Azithromycin dihydrate
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azithromycin dihydrate)

IT **83905-01-5P**, Azithromycin
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of azithromycin dihydrate)

RN 83905-01-5 HCAPLUS

CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

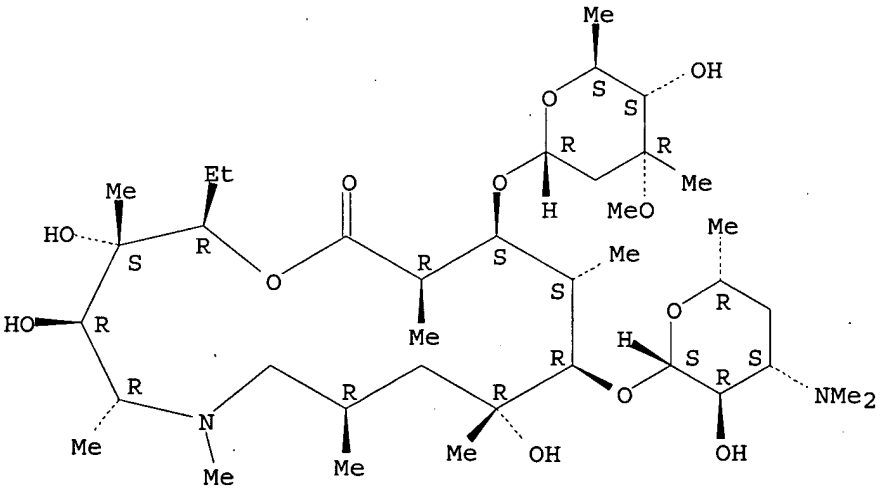


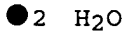
IT **117772-70-0P**, Azithromycin dihydrate
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azithromycin dihydrate)

RN 117772-70-0 HCAPLUS

CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, dihydrate, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 14 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:383943 HCAPLUS
DOCUMENT NUMBER: 133:34427
TITLE: Ethanolate of azithromycin, process for manufacture, and pharmaceutical compositions thereof
INVENTOR(S): Singer, Claude; Aronheim, Judith
PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals Usa, Inc.
SOURCE: PCT Int. Appl., 15 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000032203	A1	20000608	WO 1999-US28368	19991130
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2352562	AA	20000608	CA 1999-2352562	19991130
EP 1152765	A1	20011114	EP 1999-965069	19991130
EP 1152765	B1	20041013		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 2002007049	A1	20020117	US 1999-451738	19991130
US 6365574	B2	20020402		
SI 20639	C	20020228	SI 1999-20086	19991130
JP 2002531409	T2	20020924	JP 2000-584898	19991130
NZ 512496	A	20030228	NZ 1999-512496	19991130
AU 768219	B2	20031204	AU 2000-31065	19991130
AT 279200	E	20041015	AT 1999-965069	19991130
RU 2240124	C2	20041120	RU 2001-118035	19991130
EP 1484062	A1	20041208	EP 2004-14455	19991130
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
ES 2229804	T3	20050416	ES 1999-965069	19991130
ZA 2001004312	A	20011128	ZA 2001-4312	20010525
BG 105547	A	20011231	BG 2001-105547	20010529
LV 12735	B	20020320	LV 2001-79	20010622
HK 1043725	A1	20050506	HK 2002-102775	20020412
PRIORITY APPLN. INFO.:			US 1998-110298P P	19981130
			EP 1999-965069 A3	19991130
			WO 1999-US28368 W	19991130

ED Entered STN: 09 Jun 2000
AB A novel, non-hygroscopic form of azithromycin is disclosed, as well as a method for preparing it by the gradual crystallization of azithromycin from ethanol
by the addition of a minimal amount of water to effect crystal formation.

Pharmaceutical compns. containing this novel form of azithromycin are also disclosed.

IC ICM A61K031-70
ICS C07H001-00; C07H017-08

CC 63-6 (Pharmaceuticals)

IT **Crystal morphology**
• (preparation of azithromycin ethanolate for pharmaceuticals)

IT **83905-01-5**, Azithromycin
RL: PEP (Physical, engineering or chemical process); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); PROC (Process); RACT (Reactant or reagent); USES (Uses)
(preparation of azithromycin ethanolate for pharmaceuticals)

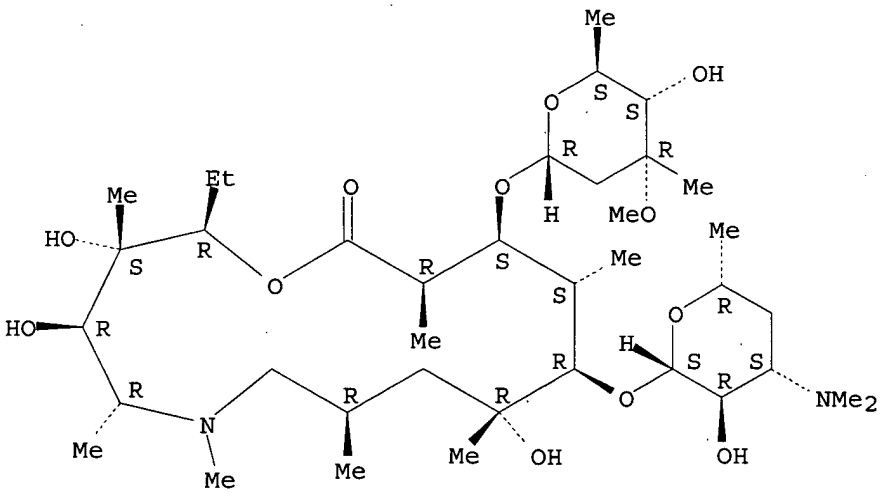
IT **273752-96-8P**
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azithromycin ethanolate for pharmaceuticals)

IT **83905-01-5**, Azithromycin
RL: PEP (Physical, engineering or chemical process); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); PROC (Process); RACT (Reactant or reagent); USES (Uses)
(preparation of azithromycin ethanolate for pharmaceuticals)

RN 83905-01-5 HCAPLUS

CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **273752-96-8P**
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azithromycin ethanolate for pharmaceuticals)

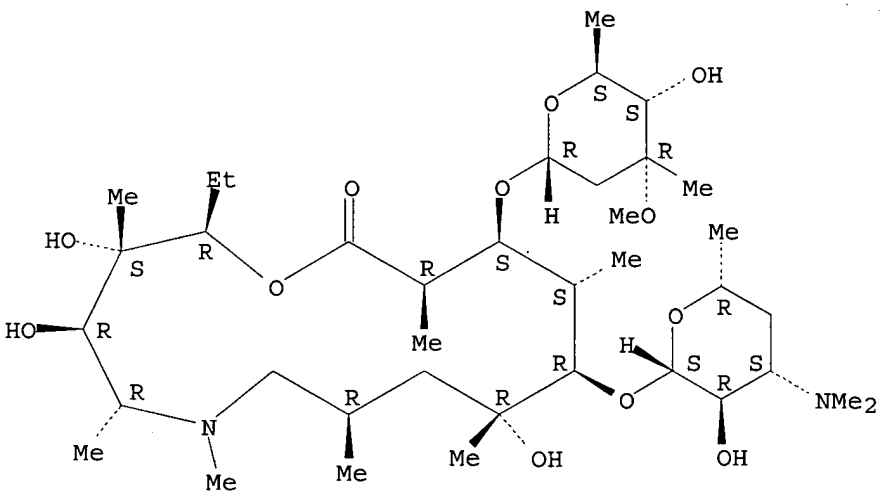
RN 273752-96-8 HCAPLUS

CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)-, compd. with ethanol (9CI) (CA INDEX NAME)

CM 1

CRN 83905-01-5
CMF C38 H72 N2 O12

Absolute stereochemistry.



CM 2

CRN 64-17-5
CMF C2 H6 O

H_3C-CH_2-OH

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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